http://www.cas.org/support/stngen/stndoc/properties.html

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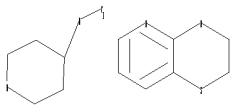
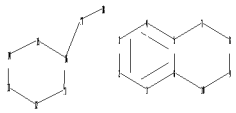
Uploading C:\Program Files\Stnexp\Queries\10518655.str





chain nodes :
17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
16-17 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16
exact/norm bonds :
5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 16-17 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 11 :

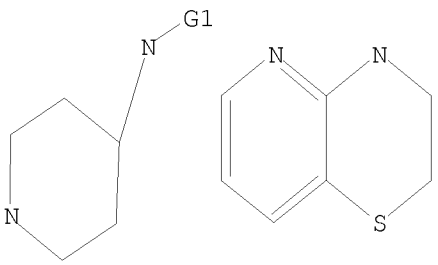
G1:CH2,C,SO2,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS
L1 STR



G1 CH2,C,SO2,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 13:38:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 48 TO ITERATE

100.0% PROCESSED 48 ITERATIONS 18 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 545 TO 1375
PROJECTED ANSWERS: 106 TO 614

L2 18 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 13:38:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 869 TO ITERATE

100.0% PROCESSED 869 ITERATIONS 267 ANSWERS
SEARCH TIME: 00.00.01

L3 267 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 13:38:54 ON 19 FEB 2008

FILE COVERS 1907 - 19 Feb 2008 VOL 148 ISS 8
FILE LAST UPDATED: 18 Feb 2008 (20080218/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3
L4 19 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

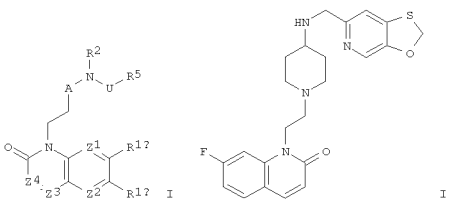
ACCESSION NUMBER: 2008:94922 CAPLUS
TITLE: Derivatives and analog of N-ethylquinolones and N-ethylazaquinolones as antibacterial agents and their preparation
INVENTOR(S): Ballell, Lluis; Barros, David; Brooks, Gerald; Castro Pichel, Julia; Dabbs, Steven; Daines, Robert A.; Davies, David Thomas; Fiandor Roman, Jose Maria; Giordano, Ilaria; Hennessy, Alan Joseph; Hoffman, James B.; Jones, Graham Elgin; Miles, Timothy James; Pearson, Neil David; Pendrak, Israil; Remuinan Blanco, Modesto J.; Rossi, Jason Anthony; Zhang, Lihua
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 305pp. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008009700	A1	20080124	WO 2007-EP57422	20070718

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:	US 2006-807850P	P	20060720
	US 2007-913057P	P	20070420
	EP 2007-381041	A	20070518

GI



I I

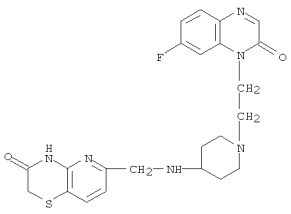
AB Bicyclic nitrogen containing compds. of formula I and their use as antibacterials is disclosed. Compds. of formula I wherein two of Z1, Z2, Z3 and Z4 are independently CR1c and N, and the reminder are independently CR1c; Z3Z4 together is S and one of Z1 and Z2 is CR1 and N, and the other is independently CR1c; R1a, R1b, R1c are independently H, halo, CN, C1-6 alkyl(thio), CF3, CF3O, carboxy, OH and derivs., etc.; R2 is H, C1-4 alkyl, etc.; A is (un)substituted 6- to 7-membered azacycle, (un)substituted azabicycle, etc.; U is CO and CH2, R5 is (un)substituted bicyclic carbocycle and (un)substituted bicyclic heterocycle; and their pharmaceutically acceptable salts, solvates, and N-oxides thereof, are claimed. Example compound II•HCl was prepared reductive alkylation of 1,1-dimethylethyl 4-piperidinylcarbamate with (7-fluoro-2-oxo-1(2H)-quinolinyl)acetaldehyde; the resulting 1,1-dimethylethyl [1-[2-(7-fluoro-2-oxo-1(2H)-quinolinyl)ethyl]-4-piperidinyl]carbamate underwent hydrolysis to give 1-[2-(4-aminopiperidin-1-yl)ethyl]-7-fluoro-2(1H)-quinolinone, which underwent reductive alkylation with [1,3]oxathiolo[5,4-c]pyridine-6-carboxaldehyde to give compound II, which was added hydrochloric acid to give II•HCl. All the invention compds. were evaluated for their antibacterial activity. From the assay, it was determined that compound II exhibited MIC value of 1.7 μg/mL or lower.

IT INDEXING IN PROGRESS

IT 917832-49-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate and intermediate; preparation of N-ethylquinolone and N-ethylazaquinolone derivs. as antibacterial agents)

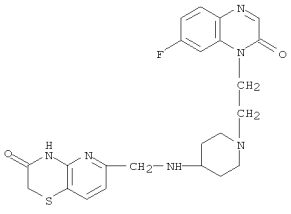
RN 917832-49-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(7-fluoro-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 1003939-25-0P 1003941-38-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-ethylquinolone and N-ethylazaquinolone derivs. as antibacterial agents)

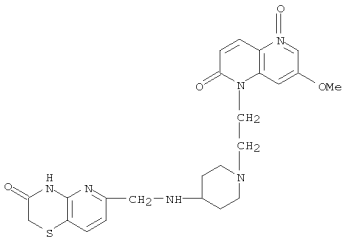
RN 1003939-25-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



•2 HCl

RN 1003941-38-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L4 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



• HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:71437 CAPLUS
DOCUMENT NUMBER: 148:168692
TITLE: Preparation of 1-methyl-1H-1,5-naphthyridin-2-ones and related compounds as antibacterial agents
INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Pearson, Neil David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 72pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008006648	A1	20080117	WO 2007-EP55643	20070608

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

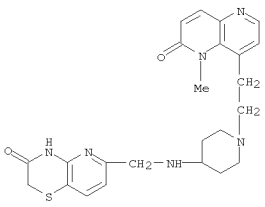
PRIORITY APPLN. INFO.:	GB 2006-11470	A 20060609
	GB 2007-6290	A 20070330

GI

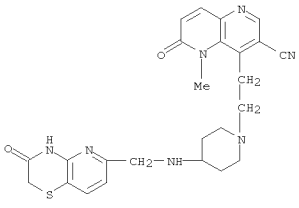
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Z = C or N; R1a, R1b, R1c = H, halo, cyano, etc.; R2 = H or alkyl; further detail on R2 was given; A = Q1, etc.; R3 is as defined for R1a or R1b or is oxo; n = 1, 2; U = CO or CH2; R5 = (un)substituted bicyclic carbocyclic or heterocyclic Q2 containing up to four heteroatoms in each ring in which at least one of rings (a) and (b) is aromatic; X1 is C or N (when part of an aromatic ring), or CR14 (when part of a non-aromatic ring); X2 is N, O, CO, etc. (when part of an aromatic or non-aromatic ring) or may in addition be CR14R15 (when part of a non-aromatic ring); X3, X5 = N or C; Y1 = 0

L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

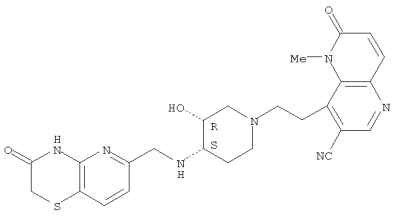


RN 1002108-34-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1002108-35-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

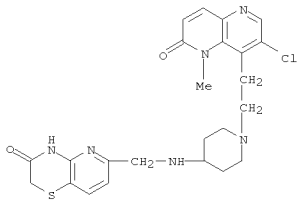
Absolute stereochemistry.



L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
to 4 atom linker group, each atom of which is selected from N, O, CO, etc. (when part of an arom. or non-arom. ring) or may addnl. be CR14R15 (when part of a non-arom. ring); Y2 = 2 to 6 atom linker group, each atom of which is selected from N, O, CO, etc. (when part of an arom. or non-arom. ring) or may addnl. be CR14R15 (when part of a non-arom. ring); R14, R15 = H, alkylthio, halo, etc.] or pharmaceutically acceptable salts, solvates or N-oxides thereof were prepd. Thus, a multi-step synthesis of compd. II, starting from 6-methoxy-1,5-naphthyridin-4-ol, was given. Compds. I herein had a MIC of ≤2 μg/mL against a strain of at least one of Staphylococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, etc.

IT 1002108-13-5P 1002108-29-3P 1002108-34-0P
1002108-35-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 1-methyl-1H-1,5-naphthyridin-2-ones and related compds. as antibacterial agents)

RN 1002108-13-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

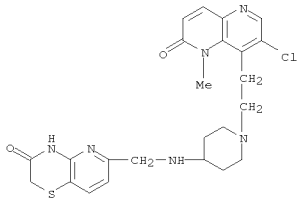


RN 1002108-29-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

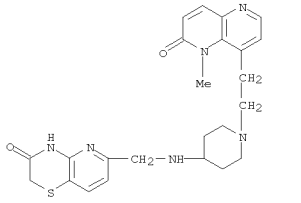
IT 1002107-73-4P 1002107-88-1P 1002107-94-9P
1002107-95-0P 1002108-09-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-methyl-1H-1,5-naphthyridin-2-ones and related compds. as antibacterial agents)

RN 1002107-73-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



●2 HCl

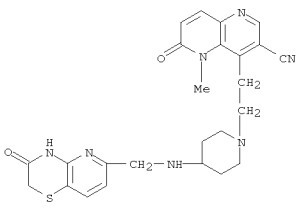
RN 1002107-88-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



●2 HCl

RN 1002107-94-9 CAPLUS

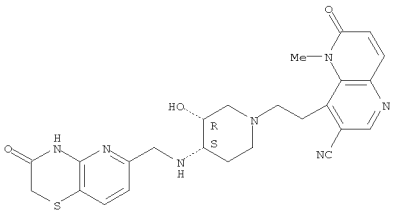
L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN INDEX NAME NOT YET ASSIGNED



●2 HCl

RN 1002107-95-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

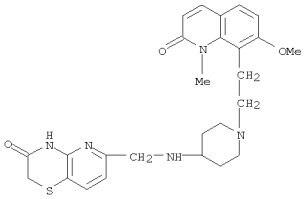
Absolute stereochemistry.



●2 HCl

RN 1002108-09-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one,
6-[[[1-[2-(1,2-dihydro-7-methoxy-1-
methyl-2-oxo-8-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX
NAME)

L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:43343 CAPLUS
DOCUMENT NUMBER: 148:144749
TITLE: Preparation of azatricyclic compounds for the treatment of bacterial infection
INVENTOR(S): Brooks, Gerald; Miles, Timothy James; Pearson, Neil David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 81pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008003690	A1	20080110	WO 2007-EP56664	20070703

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

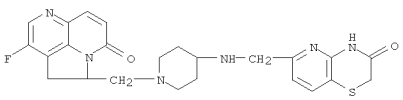
PRIORITY APPLN. INFO.: GB 2006-13208 A 20060703

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [one of B and D is CH2, the other is a bond; one of Z1 and
Z2 is CH or N, the other is CH; R1a, R1b = H, halo, cyano, etc.; R2 = H or
alkyl; further detail on R2 is given; A = Q1, etc.; R3 is as defined for
R1a and R1b or is oxo; n = 1, 2; U = CO or CH2; R5 = (un)substituted
bicyclic carbocyclic or heterocyclic Q2 containing up to 4 heteroatoms in each
ring in which at least one of rings a and b is aromatic; X1 is C or N (when
part of an aromatic ring), or CR14 (when part of a non-aromatic ring); X2 is N,
NR13, O, etc. (when part of an aromatic or a non-aromatic ring), or may in addition
be CR14R15 (when part of a non-aromatic ring); X3, X5 = N or C; Y1 = 0 to 4
atom linker group, each atom of which is selected from N, NR13, O, etc.
(when part of an aromatic or a non-aromatic ring), or may addnl. be CR14R15
(when part of a non-aromatic ring); Y2 = 2 to 6 atom linker group, each atom
of which is selected from N, NR13, O, etc. (when part of an arom. or a non-arom. ring), or may addnl. be CR14R15 (when part of a non-arom. ring);
R13 = H, trifluoromethyl, alkyl (optionally substituted with hydroxy, alkoxy, alkylthio, etc.); R14, R15 = H, alkylthio, halo, etc.] or pharmaceutically acceptable salts, solvates and/or N-oxides thereof were prepd. Thus, a multi-step synthesis of both enantiomers of compd. II, starting from 8-bromo-7-fluoro-2-methoxy-1,5-naphthyridine, was given. Compds. I herein were tested for antimicrobial activity and had a MIC of <2 μg/mL against a strain of at least one of Staphylacoccus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, etc.

IT 1001321-98-7P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of azatricyclic compds. for treatment of bacterial infection)
RN 1001321-98-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

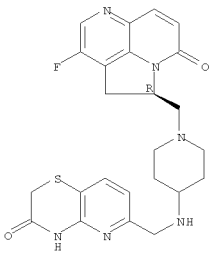


●2 HCl

IT 1001321-95-4P 1001321-96-5P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azatricyclic compds. for treatment of bacterial infection)
RN 1001321-95-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

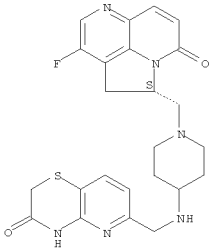
L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

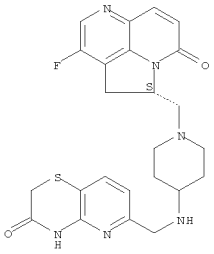
RN 1001321-96-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

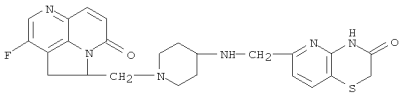


● HCl

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

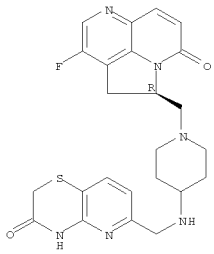


IT 1001321-97-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of azatricyclic compds. for treatment of bacterial infection)
RN 1001321-97-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
IT 1001321-99-8P 1001322-00-4P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of azatricyclic compds. for treatment of bacterial infection)
RN 1001321-99-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 1001322-00-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1396057 CAPLUS
DOCUMENT NUMBER: 148:33708
TITLE: Preparation of naphthyridinones and related compounds as antibacterial agents
INVENTOR(S): Kiyoto, Taro; Ando, Junichi; Tanaka, Tadashi; Tsutsui, Yasuhiro; Yokotani, Mai; Noguchi, Toshiya; Ushiyama, Fumihito; Urabe, Hiroki; Horikiri, Hiromasa
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan; Taisho Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 270pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

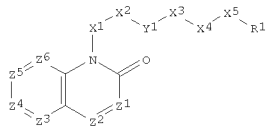
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138974	A1	20071206	WO 2007-JP60606	20070524

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-146588 A 20060526

OTHER SOURCE(S): MARPAT 148:33708
GI

L4 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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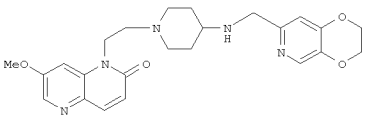


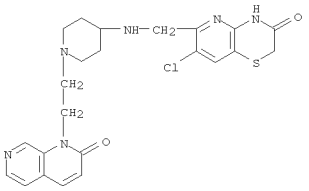
II

AB Title compds. I [R1 = (un)substituted alkyl, aryl or heterocycle; X1 = (un)substituted alkylene; X2 = NR2 or bond; R2 = H, (un)substituted alkyl or imino protecting group; X3 = NR3, CR4R5NR3 or bond; R3 = H, (un)substituted alkyl or imino protecting group; R4, R5 = H or (un)substituted alkyl; R4 and R5 may combine to form oxo group; X4 = (un)substituted alkylene, alkenylene, alkynylene, etc.; X5 = oxygen, sulfur atom, sulfinyl, etc.; Y1 = (un)substituted divalent aliphatic hydrocarbon residue or (un)substituted divalent alicyclic amine residue; Z1-Z6 = nitrogen atom or CR7 with the proviso that at least one of Z3-Z6 is nitrogen atom; R7 = H, halo, hydroxyl, etc.] and salts thereof were prepared Thus, a multi-step synthesis of II hydrochloride, starting from 1-(trifluoroacetyl)piperidin-4-amine·HCl, was given. The exemplified compound II hydrochloride showed the MIC value of 0.0313 μg/mL against S. aureus FDA209P and S. aureus F-3095.

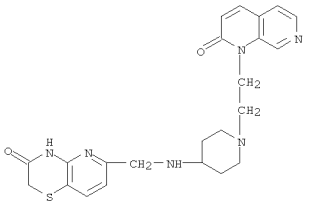
IT 959614-00-7P 959614-03-0P 959614-29-0P
959614-64-3P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of naphthyridinones and related compds. as antibacterial agents)

RN 959614-00-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(2-oxo-1,7-naphthyridin-1(2H)-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

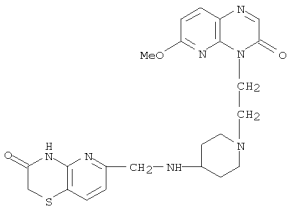


RN 959614-03-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(2-oxo-1,7-naphthyridin-1(2H)-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

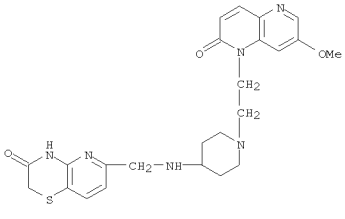


RN 959614-29-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(6-methoxy-3-oxopyrido[2,3-b]pyrazin-4(3H)-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



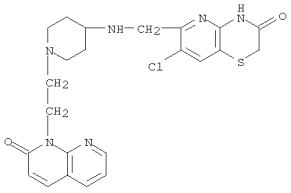
RN 959614-64-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(7-methoxy-2-oxo-1,5-naphthyridin-1(2H)-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)



IT 959613-90-2P 959614-24-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of naphthyridinones and related compds. as antibacterial agents)

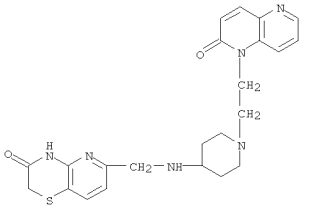
RN 959613-90-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(2-oxo-1,8-naphthyridin-1(2H)-yl)ethyl]-4-piperidinyl]amino]methyl]-, hydrochloride (1:?) (CA INDEX NAME)

L4 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● x HCl

RN 959614-24-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(2-oxo-1,5-naphthyridin-1(2H)-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

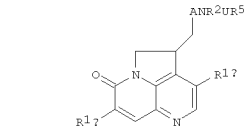
L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1236698 CAPLUS
DOCUMENT NUMBER: 147:502337
TITLE: Preparation of tricyclic nitrogen containing compounds
and their use as antibacterials
INVENTOR(S): Brooks, Gerald; Giordano, Ilaria; Hennessy, Alan Joseph; Pearson, Neil David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 112pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007122258	A1	20071101	WO 2007-EP54079	20070425

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2006-8263 A 20060426

OTHER SOURCE(S): MARPAT 147:502337
GI



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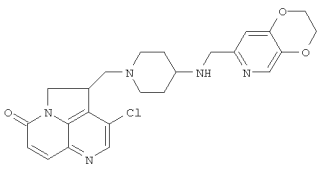
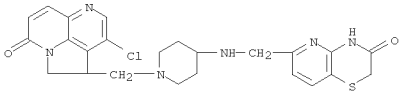


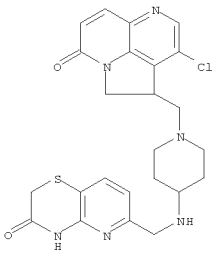
II

AB Title compds., in particular pyrrolo[3,2,1-de]naphthyridinones I [R1a and R1b independently = H, halo, CN, alkyl, etc.; R2 = H, alkyl, etc.; R5 = (un)substituted bicyclic carbocyclic or heterocyclic ring system; U = CO or CH2; for A = nitrogen heterocycle optionally containing O as well as optionally containing addnl. substituents], and their pharmaceutical salts were prepared and disclosed as antibacterial agents. Thus, e.g., II was prepared by reductive amination of 2,3-dihydro[1,4]dioxino[2,3-c]pyridine-7-carbaldehyde with 4-[(4-amino-1-piperidinyl)methyl]-3-chloro-4,5-dihydro-7H-pyrrolo[3,2,1-de]-1,5-naphthyridin-7-one (preparation given). For bacterial strains tested, at least one compound of the invention demonstrated an MIC of ≤ 2 μg/mL with the exception of strains of Pseudomonas aeruginosa, for which at least some of the compds. had a MIC ≤ 4 μg/mL.

IT 956008-02-9P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrrolo[3,2,1-de]naphthyridinones as antibacterial agents)
RN 956008-02-9 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one, 3-chloro-4-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-4,5-dihydro-, (+)- (CA INDEX NAME)

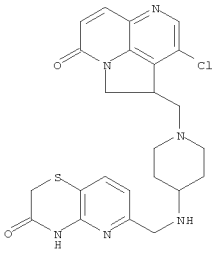


Rotation (+).

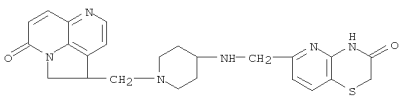


RN 956008-05-2 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one, 3-chloro-4-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-4,5-dihydro-, (-)- (CA INDEX NAME)

Rotation (-).

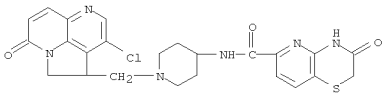


IT 956007-98-0P 956008-14-3P 956008-18-7P 956008-36-9P 956008-38-1P 956008-83-6P 956009-11-3P 956009-53-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrrolo[3,2,1-de]naphthyridinones as antibacterial agents)
RN 956007-98-0 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one, 4-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-4,5-dihydro- (CA INDEX NAME)

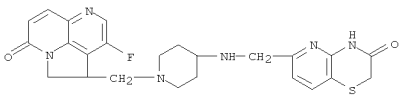


RN 956008-14-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[(3-chloro-4,5-dihydro-7-oxo-7H-pyrrolo[3,2,1-de][1,5]naphthyridin-4-yl)methyl]-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



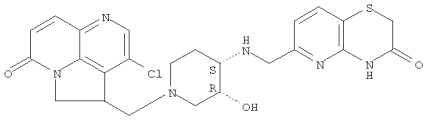
RN 956008-18-7 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
4-[[4-[[(3,4-dihydro-3-oxo-2H-
pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-3-
fluoro-4,5-dihydro- (CA INDEX NAME)



RN 956008-36-9 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
3-chloro-4-[[(3R,4S)-4-[[(3,4-

dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-hydroxy-1-
piperidinyl]methyl]-4,5-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

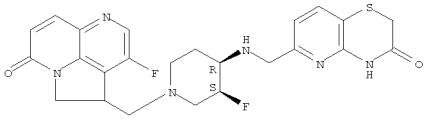


RN 956008-38-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3R,4S)-1-[(3-chloro-4,5-

dihydro-7-oxo-7H-pyrrolo[3,2,1-de][1,5]naphthyridin-4-yl)methyl]-3-hydroxy-
4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)

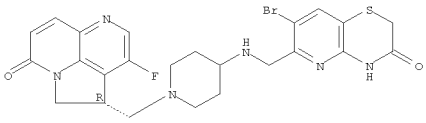
Absolute stereochemistry.

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

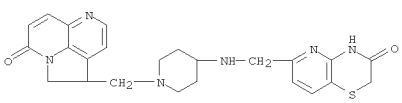


RN 956009-53-3 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
4-[[4-[[(7-bromo-3,4-dihydro-
3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-
piperidinyl]methyl]-3-fluoro-4,5-dihydro-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

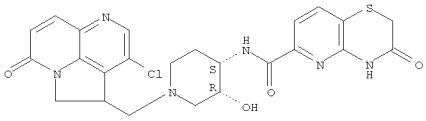


IT 956007-99-1P 956008-03-0P 956008-06-3P
956008-07-4P 956008-15-4P 956008-19-8P
956008-37-0P 956008-39-2P 956008-85-8P
956009-12-4P 956009-52-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of pyrrolo[3,2,1-de]naphthyridinones as antibacterial
agents)
RN 956007-99-1 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
4-[[4-[[(3,4-dihydro-3-oxo-2H-
pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-4,5-
dihydro-, hydrochloride (1:2) (CA INDEX NAME)



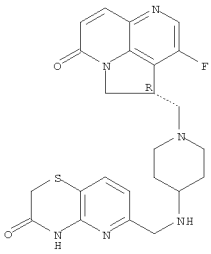
●2 HCl

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 956008-83-6 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
4-[[4-[[(3,4-dihydro-3-oxo-2H-
pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-3-
fluoro-4,5-dihydro-, (4R)- (CA INDEX NAME)

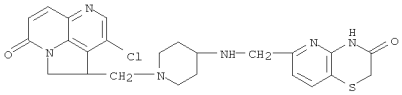
Absolute stereochemistry.



RN 956009-11-3 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
4-[[(3R,4S)-4-[[(3,4-dihydro-
3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-fluoro-1-
piperidinyl]methyl]-3-fluoro-4,5-dihydro-, rel- (CA INDEX NAME)

Relative stereochemistry.

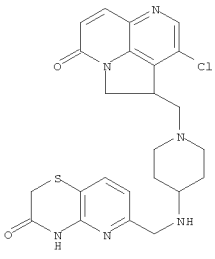
L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 956008-03-0 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
3-chloro-4-[[4-[[(3,4-dihydro-
3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-
piperidinyl]methyl]-4,5-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 956008-06-3 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
3-chloro-4-[[4-[[(3,4-dihydro-
3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-
piperidinyl]methyl]-4,5-dihydro-, hydrochloride (1:2), (+)- (CA INDEX
NAME)

Rotation (+).

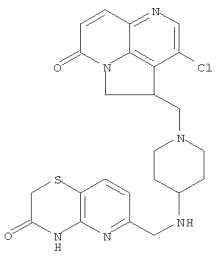


●2 HCl

RN 956008-07-4 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
3-chloro-4-[[4-[[(3,4-dihydro-
3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-
piperidinyl]methyl]-4,5-dihydro-, hydrochloride (1:2), (-)- (CA INDEX

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
NAME)

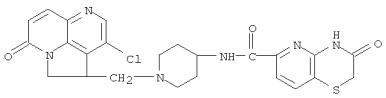
Rotation (-).



● 2 HCl

RN 956008-15-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide,
N-[1-[(3-chloro-4,5-dihydro-7-

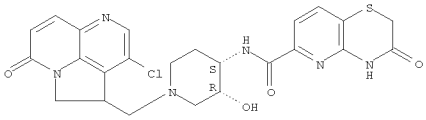
oxo-7H-pyrrolo[3,2,1-de][1,5]naphthyridin-4-yl)methyl]-4-piperidinyl]-3,4-
dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 956008-19-8 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
4-[[4-[[(3,4-dihydro-3-oxo-2H-
pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-3-
fluoro-4,5-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

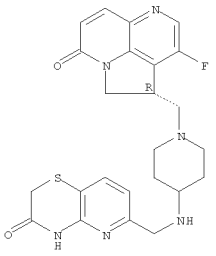
L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 956008-85-8 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
4-[[4-[[(3,4-dihydro-3-oxo-2H-
pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-3-
fluoro-4,5-dihydro-, hydrochloride (1:1), (4R)- (CA INDEX NAME)

Absolute stereochemistry.

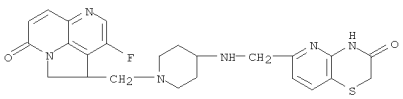


● HCl

RN 956009-12-4 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
4-[[(3R,4S)-4-[[(3,4-dihydro-
3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-fluoro-1-
piperidinyl]methyl]-3-fluoro-4,5-dihydro-, hydrochloride (1:1), rel- (CA
INDEX NAME)

Relative stereochemistry.

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

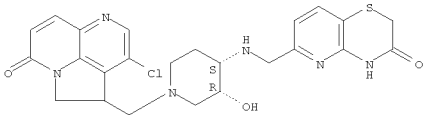


● HCl

RN 956008-37-0 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
3-chloro-4-[[(3R,4S)-4-[[(3,4-

dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-hydroxy-1-
piperidinyl]methyl]-4,5-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



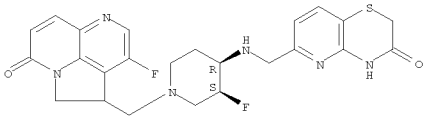
● HCl

RN 956008-39-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3R,4S)-1-[(3-chloro-4,5-

dihydro-7-oxo-7H-pyrrolo[3,2,1-de][1,5]naphthyridin-4-yl)methyl]-3-hydroxy-
4-piperidinyl]-3,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

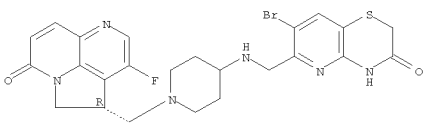
L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 956009-52-2 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one,
4-[[4-[[(7-bromo-3,4-dihydro-
3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-
piperidinyl]methyl]-3-fluoro-4,5-dihydro-, hydrochloride (1:1), (4R)-
(CA
INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

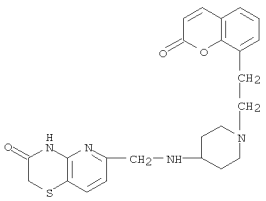
L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1177635 CAPLUS
DOCUMENT NUMBER: 147:462228
TITLE: Antibacterial agents
INVENTOR(S): Miller, William Henry; Price, Alan T.
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007118130	A2	20071018	WO 2007-US66018	20070405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-744348P P 20060406

OTHER SOURCE(S): CASREACT 147:462228; MARPAT 147:462228
AB 2H-chromen-2-one derivs. useful in the treatment of bacterial infections in mammals, particularly humans, are disclosed herein.
IT 952658-20-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial chromenones)
RN 952658-20-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(2-oxo-2H-1-benzopyran-8-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibacterial chromenones

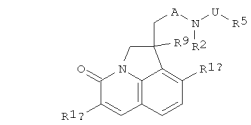
L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:790590 CAPLUS
DOCUMENT NUMBER: 147:189160
TITLE: 1,2-Dihydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one derivatives as antibacterial agents and their preparation, pharmaceutical compositions and use in the treatment of bacterial infection
INVENTOR(S): Cailleau, Nathalie; Davies, David Thomas; Esken, Joel Michael; Hennessy, Alan Joseph; Kusalakumari Sukumar, Senthil Kumar; Markwell, Roger Edward; Miles, Timothy James; Pearson, Neil David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 115pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007081597	A2	20070719	WO 2006-US60023	20061017
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

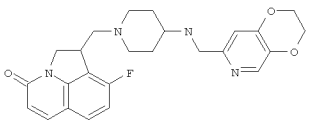
PRIORITY APPLN. INFO.: US 2005-728975P P 20051021
US 2006-826590P P 20060922

OTHER SOURCE(S): MARPAT 147:189160
GI

L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

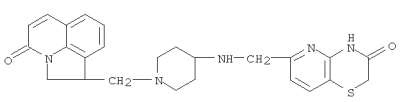


I



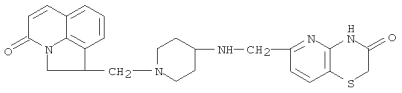
II

AB Tricyclic nitrogen containing compds. of formula I and their use as antibacterials are disclosed. Compds. of formula I wherein R1a and R1b are independently H, halo, CN, C1-6 alkyl(thio), CF3, OCF3, carboxy, OH and derivs., etc.; R2 is H and C1-4 alkyl; A is (un)substituted (mono/bi)cyclic nitrogen containing heterocyclyl, (un)substituted (hetero)cyclyl-CH2;U is CO and CH2; R5 is (un)substituted bicyclic carbocyclyl and (un)substituted heterocyclyl; R9 is H and OH; and their pharmaceutically acceptable salts and solvates thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given).
All the invention compds. were evaluated for their antibacterial activity.
Most of the tested compds. had MIC ≤ 2 μg/mL.
IT 944406-90-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of dihydropyrroloquinolinone derivs. as antibacterial agents)
RN 944406-90-0 CAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-1,2-dihydro- (CA INDEX NAME)



IT 944406-07-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dihydropyrroloquinolinone derivs. as antibacterial agents)
RN 944406-07-9 CAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinolin-4-one, 1-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-1,2-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



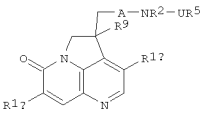
● HCl

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:703203 CAPLUS
DOCUMENT NUMBER: 147:118205
TITLE: Heterocyclic compounds, their preparation and their use as antibacterials
INVENTOR(S): Cailleau, Nathalie; Davies, David Thomas; Hennessy, Alan Joseph; Jones, Graham Elgin; Miles, Timothy James; Pearson, Neil David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 100pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007071936	A1	20070628	WO 2006-GB4686	20061215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2007185153	A1	20070809	US 2006-611214	20061215
PRIORITY APPLN. INFO.:			US 2005-753149P	P 20051222
			US 2006-866877P	P 20061122

OTHER SOURCE(S): MARPAT 147:118205
GI

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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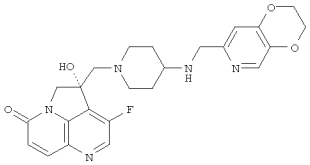
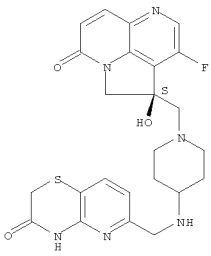


II

AB Tricyclic nitrogen containing compds. of formula I and their use as antibacterials . Compound of formula I wherein R1a and R1b are independently H, halo, CN, C1-6 alkyl(thio), CF3, CF3O, carboxy, OH and derivs., NH2 and derivs., etc.; R2 is H, C1-4 alkyl, etc.; A is (un)substituted 6-membered heterocycle; U is CO and CH2; R5 is (un)substituted bicyclic carbocycle and (un)substituted bicyclic heterocycle; R9 is F and OH; and their pharmaceutically acceptable salts, solvated and N-oxides thereof, are claimed. Example compound II was prepared
by a multistep procedure (procedure given). All the invention compds. were evaluated for their antibacterial activity. The tested compds. had MIC value ≤ 2 μg/mL.
IT 943024-55-3P 943024-75-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrrolonaphthyridinones and their use as antibacterial agents)
RN 943024-55-3 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one, 4-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-3-fluoro-4,5-dihydro-4-hydroxy-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

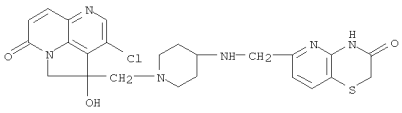
L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 943024-75-7 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one, 3-chloro-4-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-4,5-dihydro-4-hydroxy-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 943024-74-6
CMF C24 H25 Cl N6 O3 S



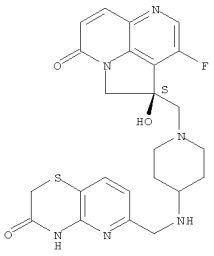
CM 2

CRN 64-19-7
CMF C2 H4 O2

IT 943024-54-2P 943024-56-4P 943024-73-5P 943024-74-6P 943025-52-3P

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrolonaphthyridinones and their use as antibacterial agents)
RN 943024-54-2 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one, 4-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-3-fluoro-4,5-dihydro-4-hydroxy-, hydrochloride (1:2), (4S)- (CA INDEX NAME)

Absolute stereochemistry.

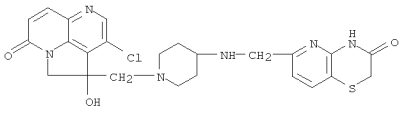


●2 HCl

RN 943024-56-4 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one, 4-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-3-fluoro-4,5-dihydro-4-hydroxy-, hydrochloride (1:2), (4R)- (CA INDEX NAME)

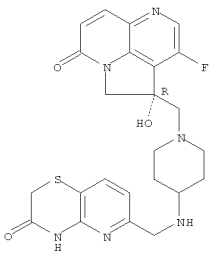
Absolute stereochemistry.

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



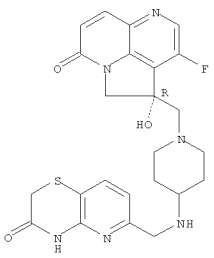
RN 943025-52-3 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one, 4-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-3-fluoro-4,5-dihydro-4-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



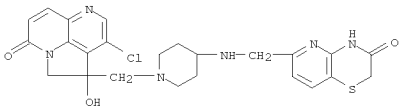
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

RN 943024-73-5 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one, 3-chloro-4-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-4,5-dihydro-4-hydroxy-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 943024-74-6 CAPLUS
CN 7H-Pyrrolo[3,2,1-de][1,5]naphthyridin-7-one, 3-chloro-4-[[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-4,5-dihydro-4-hydroxy- (CA INDEX NAME)

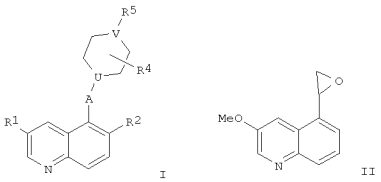
L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2007:433913 CAPLUS
DOCUMENT NUMBER:	146:441672
TITLE:	Preparation of 6-quinolinemethanols as antibacterial agents
INVENTOR(S):	Dale, Glenn E.; Pierau, Sabine; Cappi, Mike; Gray, Christopher; Hubschwerlen, Christian; Surivet, Jean-Philippe; Zumbrunn, Cornelia
PATENT ASSIGNEE(S):	Morphochem Aktiengesellschaft fuer Kombinatorische Chemie, Germany
SOURCE:	PCT Int. Appl., 130pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007042325	A1	20070419	WO 2006-EP9932	20061013
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102006028649	A1	20071227	DE 2006-102006028649	20060622
PRIORITY APPLN. INFO.:			DE 2005-102005049039A	20051013
			DE 2006-102006028649A	20060622

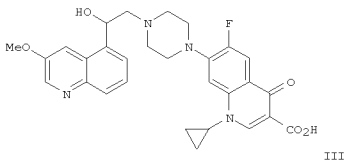
OTHER SOURCE(S): MARPAT 146:441672
GI

L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

II



III

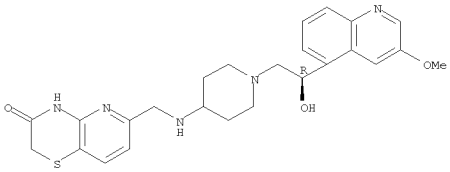
AB Title compds. I [R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; U, V = N, CH, CR6; R4 = R4'n; R4' = halo, OH, NH2, etc.; n = 0-2; R5 = alkyl, alkenyl, alkynyl, etc.; R6 = halo, OH, alkyl, etc.; A = NR7CO, CONR7, etc.; R7 = H, CF3, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, ciprofloxacin addition to epoxide II afforded quinolinemethanol III.

IT 910858-76-3P 934535-65-6P 934535-72-5P 934552-52-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-quinolinemethanols as antibacterial agents)

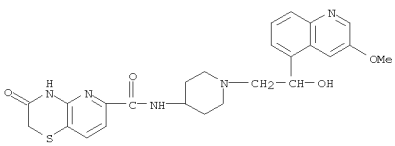
RN 910858-76-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[(2R)-2-hydroxy-2-(3-methoxy-5-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

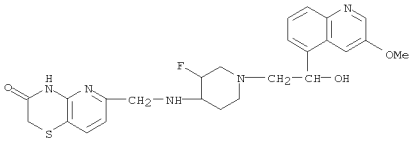
L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 934535-65-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-[2-hydroxy-2-(3-methoxy-5-quinolinyl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)

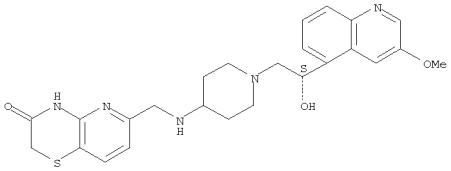


RN 934535-72-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[3-fluoro-1-[2-hydroxy-2-(3-methoxy-5-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)



RN 934552-52-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[(2S)-2-hydroxy-2-(3-methoxy-5-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2007:150178 CAPLUS
DOCUMENT NUMBER:	146:229364
TITLE:	Preparation of quinoline, quinoxaline and naphthyridine derivatives as antibacterial agents
INVENTOR(S):	Daines, Robert A.; Price, Alan T.
PATENT ASSIGNEE(S):	Glaxo Group Limited, UK
SOURCE:	PCT Int. Appl., 99pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

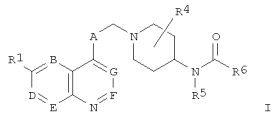
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007016610	A2	20070208	WO 2006-US30043	20060802
WO 2007016610	A3	20070607		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:			US 2005-704538P	P 20050802

OTHER SOURCE(S): MARPAT 146:229364
GI



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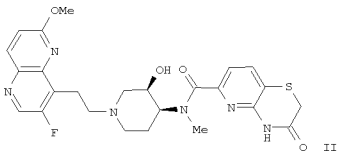


II

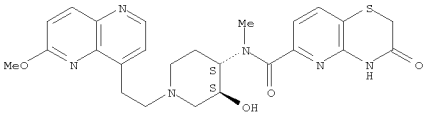
L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB The title compds. with general formula I [wherein A = (un)substituted CH2;
B = N or (un)substituted CH; two of D, E, F, and G = (un)substituted CH, and the rest = CH; R1 = H, CN, halo, OH, etc.; R4 = H, OH, alkyl, etc.; R5
= (un)substituted C1-6 alkyl; R6 = (un)substituted bicyclic carbocyclic or
heterocyclic ring] or pharmaceutically acceptable salts or solvates thereof were prepared for the treatment of bacterial infections. For example, compound II was prepared in a multi-step synthesis. II showed antimicrobial activity with min. inhibitory concentration (MIC) values of 0.25
μg/mL and 0.03 μg/mL against S. pyogenes and M. cat., resp.

IT 924278-27-3P 924278-28-4P 924278-30-8P
924278-31-9P 924278-32-0P 924278-34-2P
924278-35-3P 924278-36-4P 924278-37-5P
924278-39-7P 924278-43-3P 924278-46-6P
924278-47-7P 924278-49-9P 924278-50-2P
924278-52-4P 924278-53-5P 924278-56-8P
924278-58-0P 924278-59-1P 924278-61-5P
924278-62-6P 924279-60-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline, quinoxaline and naphthyridine derivs. as antibacterial agents)

RN 924278-27-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[(3S,4S)-3-hydroxy-1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-N-methyl-3-oxo- (CA INDEX NAME)

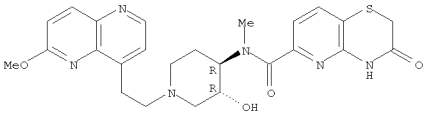
Absolute stereochemistry.



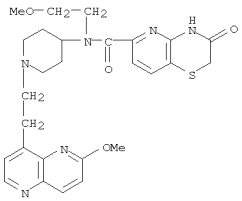
RN 924278-28-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[(3R,4R)-3-hydroxy-1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-N-methyl-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

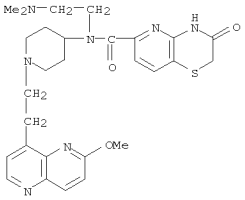


RN 924278-30-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-(2-methoxyethyl)-N-[1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)

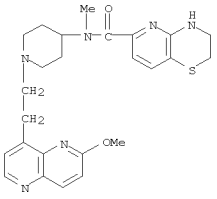


RN 924278-31-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[2-(dimethylamino)ethyl]-3,4-dihydro-N-[1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

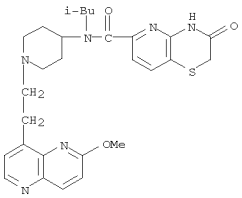


RN 924278-32-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-N-methyl- (CA INDEX NAME)

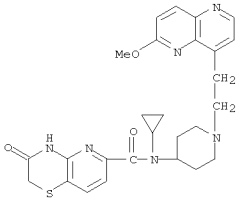


RN 924278-34-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-N-(2-methylpropyl)-3-oxo- (CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

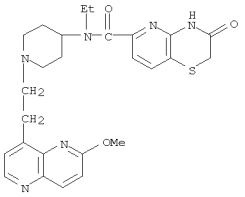


RN 924278-35-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-cyclopropyl-3,4-dihydro-N-[1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)



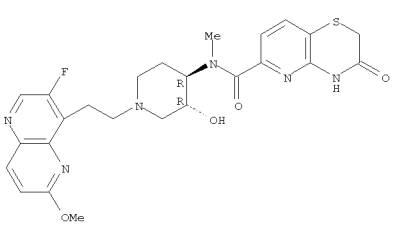
RN 924278-36-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-ethyl-3,4-dihydro-N-[1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



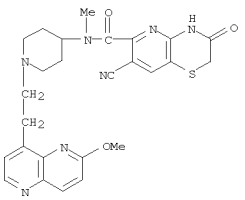
RN 924278-37-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3R,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]-3,4-dihydro-N-methyl-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.

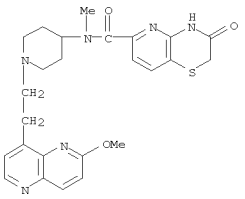


RN 924278-39-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-cyano-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3,4-dihydro-N-methyl-3-oxo- (CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



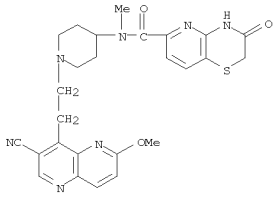
RN 924278-47-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-N-methyl-3-oxo- (CA INDEX NAME)



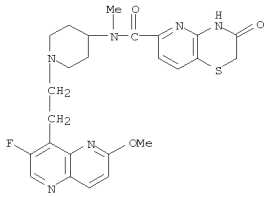
RN 924278-49-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-N-methyl-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

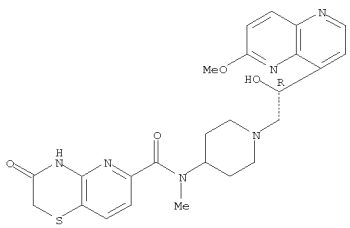


RN 924278-43-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3,4-dihydro-N-methyl-3-oxo- (CA INDEX NAME)

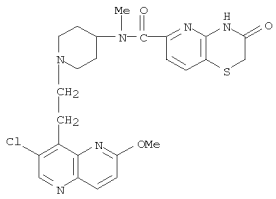


RN 924278-46-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 7-cyano-3,4-dihydro-N-[1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-N-methyl-3-oxo- (CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

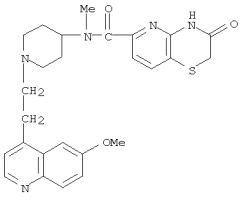


RN 924278-50-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3,4-dihydro-N-methyl-3-oxo- (CA INDEX NAME)

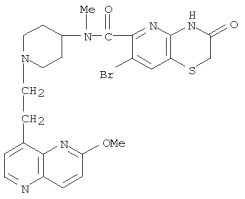


RN 924278-52-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-[2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]-N-methyl-3-oxo- (CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



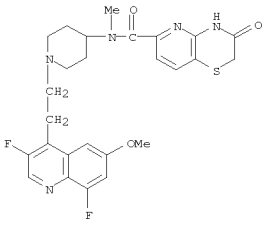
RN 924278-53-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 7-bromo-3,4-dihydro-N-[1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-N-methyl-3-oxo- (CA INDEX NAME)



RN 924278-56-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3S,4R)-3-fluoro-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3,4-dihydro-N-methyl-3-oxo- (CA INDEX NAME)

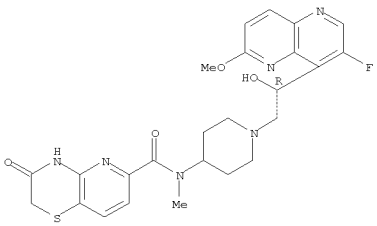
Absolute stereochemistry.

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 924278-61-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[(2R)-2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)-2-hydroxyethyl]-4-piperidinyl]-3,4-dihydro-N-methyl-3-oxo- (CA INDEX NAME)

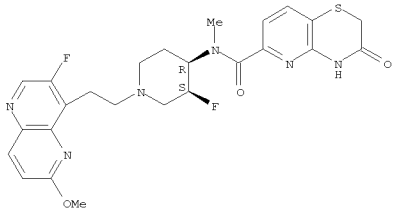
Absolute stereochemistry.



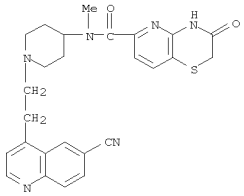
RN 924278-62-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3S,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]-3,4-dihydro-N-methyl-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

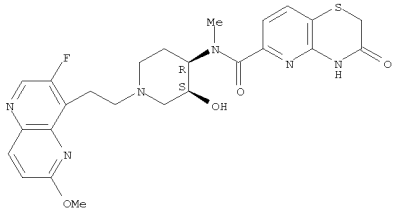


RN 924278-58-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(6-cyano-4-quinolinyl)ethyl]-4-piperidinyl]-3,4-dihydro-N-methyl-3-oxo- (CA INDEX NAME)

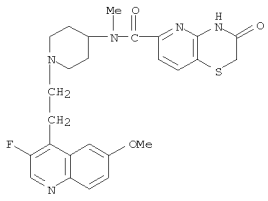


RN 924278-59-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3,8-difluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]-3,4-dihydro-N-methyl-3-oxo- (CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

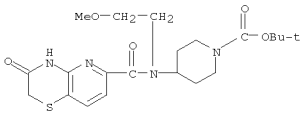


RN 924279-60-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]-3,4-dihydro-N-methyl-3-oxo- (CA INDEX NAME)

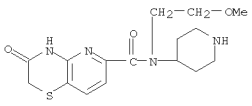


IT 924278-65-9P 924278-66-0P 924278-74-0P
924278-75-1P 924278-81-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoline, quinoxaline and naphthyridine derivs. as antibacterial agents)
RN 924278-65-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)carbonyl](2-methoxyethyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

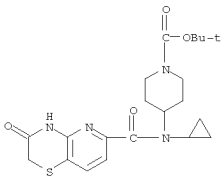


RN 924278-66-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-(2-methoxyethyl)-3-oxo-N-4-piperidinyl-, hydrochloride (1:1) (CA INDEX NAME)



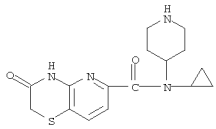
● HCl

RN 924278-74-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[cyclopropyl[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)carbonyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

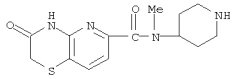


RN 924278-75-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-cyclopropyl-3,4-dihydro-3-oxo-N-4-piperidinyl- (CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 924278-81-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-methyl-3-oxo-N-4-piperidinyl- (CA INDEX NAME)



L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1356996 CAPLUS
DOCUMENT NUMBER: 146:100726
TITLE: Preparation of novel nitrogenated heterocyclic compounds as antibacterial agents
INVENTOR(S): Kiyoto, Taro; Tanaka, Tadashi; Tsutsui, Yasuhiro; Ando, Junichi; Motono, Mai; Kawaguchi, Yasuko; Noguchi, Toshiya; Ushiki, Yasunobu; Ushiyama, Fumihito; Urabe, Hiroki
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan; Taisho Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 504pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006137485	A1	20061228	WO 2006-JP312515	20060622

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
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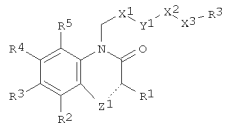
PRIORITY APPLN. INFO.: JP 2005-184542 A 20050624
JP 2006-76850 A 20060320

OTHER SOURCE(S): MARPAT 146:100726
GI

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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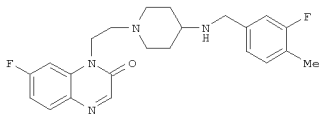
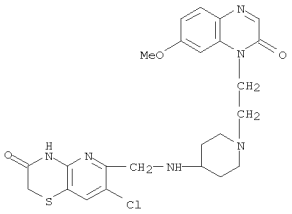


II

AB Nitrogenated heterocyclic compds., i.e. 1,2-dihydroquinolin-2-one and 1,2-dihydroquinoxalin-2-one derivs. represented by the general formula [I; the broken line = a single or double bond; R1-R5 = H, halogen atom, HO, NO2, CHO, (un)protected NH2, lower alkyl, cycloalkyl, aryl, lower alkoxy, cycloalkyloxy, aralkyloxy, alkanoyl, ureido, or (un)substituted monocyclic heterocyclic group, etc.; R6 = each (un)substituted lower alkyl, aryl, or mono-, di-, or tricyclic heterocyclic group; X1 = (un)substituted lower alkylene; X2 = each (un)substituted lower alkylene, lower alkenylene, or lower alkynylene; X3 = O, S, S(O), SO2, (un)substituted NH; Y1 = cyclic group containing a bivalent nitrogen which may be substituted; Z1 = nitrogen or (un)substituted CH] or salts thereof are prepared These compds. or salts have a potent antibacterial activity and a high safety, and are therefore useful as excellent antibacterial agents. Thus, reductive alkylation of 1-[2-(4-aminopiperidin-1-yl)ethyl]-7-fluoroquinolin-2(1H)-one by 3-fluoro-4-methylbenzaldehyde and sodium triacetoxyborohydride in the presence of AcOH in CHCl3 at room temperature overnight followed by treatment of the product solution in CHCl3 with 4 M HCl/EtOAc gave 1-[2-[4-[(3-fluoro-4-methylbenzyl)amino]piperidin-1-yl]ethyl]-7-fluoroquinoxalin-2(1H)-one (II) hydrochloride. II hydrochloride showed min. inhibitory concentration of 0.0156 μg/mL against Staphylococcus aureus FDA209P and methicillin-resistant S. aureus F-3095.

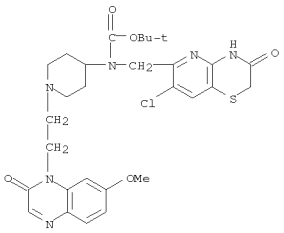
IT 917832-23-6P, 1-[2-[4-[[(7-Chloro-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]ethyl]-7-methoxyquinoxalin-2(1H)-one 917832-41-8P, tert-Butyl N-[(7-chloro-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl][1-[2-(7-methoxy-2-oxo-1,2-dihydroquinoxalin-1-yl)ethyl]piperidin-4-yl]carbamate

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
917832-42-9P, tert-Butyl N-[(7-chloro-4-methyl-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl][1-[2-(7-methoxy-2-oxo-1,2-dihydroquinoxalin-1-yl)ethyl]piperidin-4-yl]carbamate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 1,2-dihydroquinolin-2-one and 1,2-dihydroquinoxalin-2-one derivs. as antibacterial agents)
RN 917832-23-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(7-methoxy-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

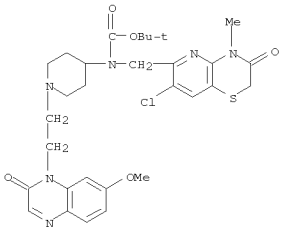


RN 917832-41-8 CAPLUS
CN Carbamic acid, N-[(7-chloro-3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]-N-[1-[2-(7-methoxy-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

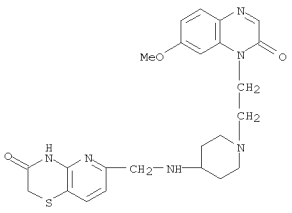


RN 917832-42-9 CAPLUS
CN Carbamic acid, N-[(7-chloro-3,4-dihydro-4-methyl-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]-N-[1-[2-(7-methoxy-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



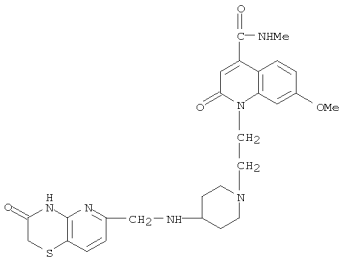
IT 917343-41-0P, 6-[[[1-[2-(7-Methoxy-2-oxo-1,2-dihydroquinoxalin-1-yl)ethyl]piperidin-4-yl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 917830-35-4P, 7-Methoxy-N-methyl-2-oxo-1-[2-[4-[[(3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]ethyl]-1,2-dihydroquinoline-4-carboxamide 917832-24-7P, 1-[2-[4-[[(7-Chloro-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]ethyl]-7-methoxyquinoxalin-2(1H)-one hydrochloride 917832-43-0P, 1-[2-[4-[[(7-Chloro-4-methyl-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]ethyl]-7-methoxyquinoxalin-2(1H)-one 917832-44-1P,

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
1-[2-[4-[[(7-Chloro-4-methyl-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]ethyl]-7-methoxyquinoxalin-2(1H)-one hydrochloride 917832-47-4P, 1-[2-[4-[[(7-Chloro-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]ethyl]-7-fluoroquinoxalin-2(1H)-one 917832-48-5P, 1-[2-[4-[[(7-Chloro-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]ethyl]-7-fluoroquinoxalin-2(1H)-one hydrochloride 917832-49-6P, 7-Fluoro-1-[2-[4-[[(3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]ethyl]quinoxalin-2(1H)-one 917832-50-9P, 7-Fluoro-1-[2-[4-[[(3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]ethyl]quinoxalin-2(1H)-one hydrochloride 917832-96-3P, 1-(2-(4-(((2,3-Dihydro-4H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl)amino)piperidin-1-yl)ethyl)-7-methoxyquinoxalin-2(1H)-one 917832-97-4P, 1-[2-[4-[[(2,3-Dihydro-4H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]ethyl]-7-methoxyquinoxalin-2(1H)-one hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1,2-dihydroquinolin-2-one and 1,2-dihydroquinoxalin-2-one derivs. as antibacterial agents)
RN 917343-41-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(7-methoxy-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

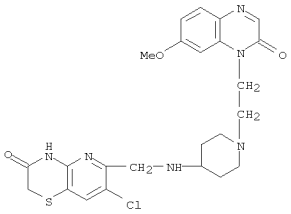


RN 917830-35-4 CAPLUS
CN 4-Quinolinecarboxamide, 1-[2-[4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]ethyl]-1,2-dihydro-7-methoxy-N-methyl-2-oxo- (CA INDEX NAME)

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



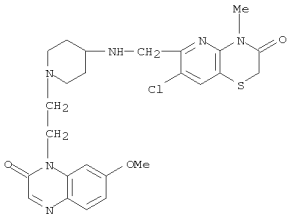
RN 917832-24-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(7-methoxy-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]-, hydrochloride (1:?) (CA INDEX NAME)



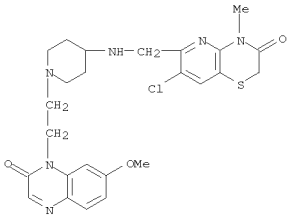
● x HCl

RN 917832-43-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(7-methoxy-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]-4-methyl- (CA INDEX NAME)

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



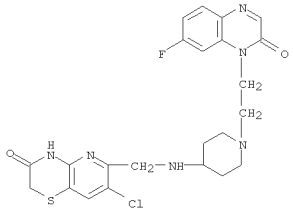
RN 917832-44-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(7-methoxy-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]-4-methyl-, hydrochloride (1:?) (CA INDEX NAME)



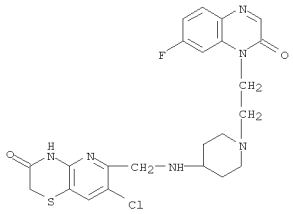
●x HCl

RN 917832-47-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(7-fluoro-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



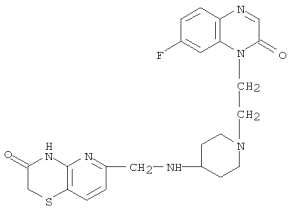
RN 917832-48-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(7-fluoro-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]-, hydrochloride (1:?) (CA INDEX NAME)



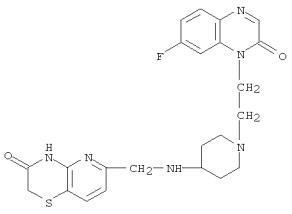
●x HCl

RN 917832-49-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(7-fluoro-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



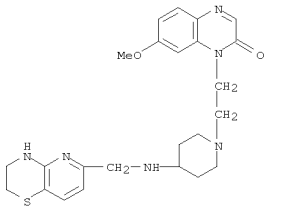
RN 917832-50-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(7-fluoro-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]-, hydrochloride (1:?) (CA INDEX NAME)



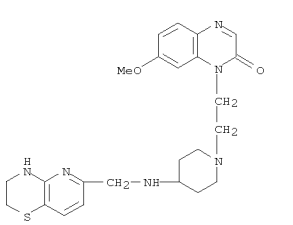
●x HCl

RN 917832-96-3 CAPLUS
CN 2(1H)-Quinoxalinone, 1-[2-[4-[[(3,4-dihydro-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]ethyl]-7-methoxy- (CA INDEX NAME)

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 917832-97-4 CAPLUS
CN 2(1H)-Quinoxalinone, 1-[2-[4-[[(3,4-dihydro-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]ethyl]-7-methoxy-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

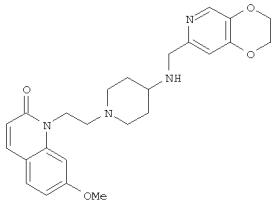
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1338413 CAPLUS
DOCUMENT NUMBER: 146:81779
TITLE: Preparation of quinolinones and analogs for the treatment of multi-drug resistant bacterial infections
INVENTOR(S): Breault, Gloria; Eyermann, Charles Joseph; Geng, Bolin; Morningstar, Marshall; Reck, Folkert
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 209pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006134378	A1	20061221	WO 2006-GB2207	20060616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006258879	A1	20061221	AU 2006-258879	20060616
IN 2007DN09254	A	20080118	IN 2007-DN9254	20071130
PRIORITY APPLN. INFO.:			US 2005-691340P P	20050616
			WO 2006-GB2207 W	20060616

OTHER SOURCE(S): MARPAT 146:81779
GI

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



II

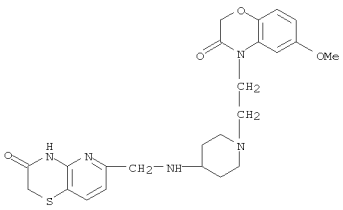
AB The invention is related to compds. L-U1-M-U2-R [I; L = (un)substituted 2-oxo-1,2-dihydroquinolin-1-yl, 2-oxo-1,4-dihydroquinolin-1-yl, 3-oxo-2,3-dihydro-4H-1,4-benzoxazin-4-yl, 2,4-dioxo-3,4-dihydroquinazolin-1(2H)-yl, 2-oxo-1,8-naphthyridin-1(2H)-yl, 2-oxoquinoxalin-1(2H)-yl, 3-oxopyrido[2,3-b]pyrazin-4(3H)-yl, etc.; U1 = CRaRb-CRcRd, CRaRb-CRcRd-CReRf; Ra-f = independently H, (un)substituted alkyl; M = (un)substituted 1,4-piperidinylene, 1,4-pyrazinylene, 2,5-piperidinylene, etc.; U2 = NR'-W; R' = H, alkyl, alkylcarbonyl, etc.; W = CH2, CO, CO2, CH2CH2, etc.; when W = CH2, CO or SO2, R = (un)substituted hetero/aryl, heterocyclyl, or ortho-fused bicyclic heteroaryl; when W = CH2CH2, CH2CH:CH, CH2C.tplbond.C, or CH2-cyclopropylene, R = (un)substituted hetero/aryl, heteroaryloxy, heteroarylthio, heteroarylsulfinyl, heteroarylsulfonyl, heteroarylamino; with proviso] their pharmaceutically acceptable salts, and N-oxides that demonstrate antibacterial activity, processes for their preparation, pharmaceutical compns. containing them as the active ingredient, to their use as medicaments and to their use in the manufacture of medicaments for use in the treatment of multi-drug resistant bacterial infections in warm blooded animals such as humans. Thus, alkylation of 7-methoxyquinolin-2(1H)-one with 2-[4-[(tert-butoxycarbonyl)amino]piperidin-1-yl]ethyl methanesulfonate, deprotection, and reduction amination of 2,3-dihydro-[1,4]dioxino[2,3-c]pyridine-7-carboxaldehyde with the amine intermediate gave oxoquinoline salt II•2HCl. Compds. I generally have IC50 <20 μg/mL for inhibition of Escherichia coli DNA supercoiling and GyrB ATPase activities and have MIC's ≤8 μg/mL vs. Gram-pos. species, including Staphylococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, and Enterococcus faecium and vs. Gram-neg. species including Haemophilus influenzae, Escherichia coli and Moraxella catarrhalis.

IT 917341-99-2P, 6-[[[1-[2-(6-Methoxy-3-oxo-2,3-dihydro-4H-1,4-benzoxazin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 917342-09-7P, 6-[[[1-[2-(6-Fluoro-3-oxo-2,3-dihydro-4H-1,4-benzoxazin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-2H-

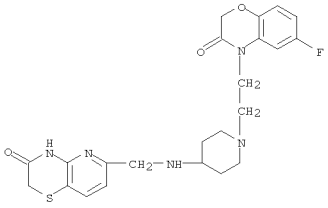
L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

pyrido[3,2-b][1,4]thiazin-3(4H)-one 917343-41-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of quinolinones and analogs for the treatment of multi-drug resistant bacterial infections)

RN 917341-99-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(2,3-dihydro-6-methoxy-3-oxo-4H-1,4-benzoxazin-4-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

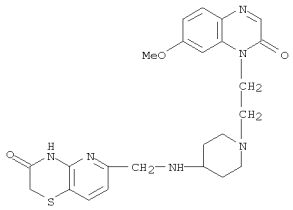


RN 917342-09-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(6-fluoro-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)



RN 917343-41-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(7-methoxy-2-oxo-1(2H)-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1005299 CAPLUS
DOCUMENT NUMBER: 145:377360
TITLE: Quinolinyl β-amino alcohol and their preparation and use as antibiotics
INVENTOR(S): Hubschwerlen, Christian; Surivet, Jean-Philippe; Zumbrunn Acklin, Cornelia
PATENT ASSIGNEE(S): Actelion Percurex A.-G., Switz.
SOURCE: PCT Int. Appl., 32pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

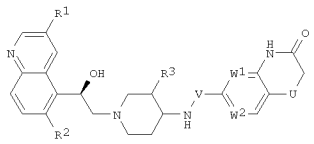
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006099884	A1	20060928	WO 2005-EP3154	20050324

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

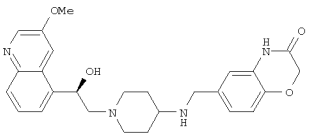
PRIORITY APPLN. INFO.: WO 2005-EP3154 20050324

OTHER SOURCE(S): MARPAT 145:377360
GI

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I



II

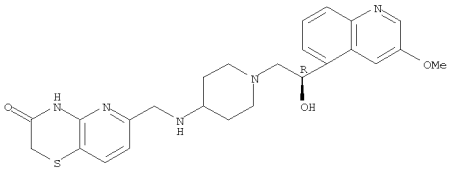
AB This invention concerns antimicrobially active compds. of the formula I. Compds. of formula I wherein R1 is alkyl, alkoxy, halo and cyano; R2 and R3 are independently H and halo; one of the symbols W1 and W2 is CH and the other represents CH or N; U is O and S; and V is CO or CH2; and their optically pure enantiomers, mixts. of enantiomers, racemates, optically pure diastereoisomers, mixts. of diastereoisomers, diastereoisomeric racemates, mixture of diastereoisomeric racemates, meso forms, pharmaceutically acceptable acid addition salts, solvent complexes and morphol. forms thereof are claimed. Example compound II was prepared by bromination of 3-bromoquinoline; the resulting 3,5-dibromoquinoline underwent substitution to give 5-bromo-3-methoxyquinoline, which underwent formylation to give 3-methoxyquinoline-5-carboxaldehyde, which underwent olefination to give 3-methoxy-5-vinylquinoline, which underwent asym. dihydroxylation; the resulting (1R)-1-(3-methoxyquinolin-5-yl)ethane-1,2-diol underwent sulfonylation with p-toluenesulfonyl chloride to give (2R)-toluene-4-sulfonic acid 2-hydroxy-2-(3-methoxyquinolin-5-yl)ethyl ester, which underwent epoxidn. to give 3-methoxy-5-[(2R)-oxiran-2-yl]quinoline, which underwent ring opening with piperidin-4-ylcarbamic acid benzyl ester to give the corresponding quinolinylethylpiperidine derivative, which underwent hydrogenation to give (2R)-2-(4-aminopiperidin-1-yl)-1-(3-methoxyquinolin-5-yl)ethanol, which underwent reductive amination with 3-oxo-3,4-dihydro-2H-benzo[1,4]oxazine-6-carboxaldehyde to give compound II. All the invention compds. were evaluated for their antibacterial activity. All the tested compds. showed good activity against several bacteria.

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 910858-76-3P 910858-78-5P 910858-79-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinolinyl β-amino alcs. as antibiotics)

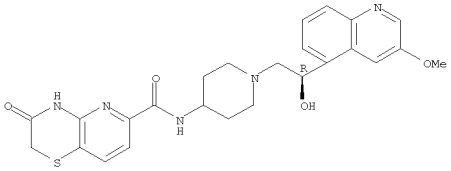
RN 910858-76-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[(2R)-2-hydroxy-2-(3-methoxy-5-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 910858-78-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-[(2R)-2-hydroxy-2-(3-methoxy-5-quinolinyl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)

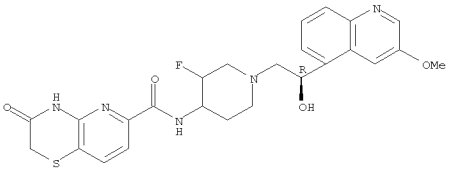
Absolute stereochemistry.



RN 910858-79-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[3-fluoro-1-[(2R)-2-hydroxy-2-(3-methoxy-5-quinolinyl)ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.

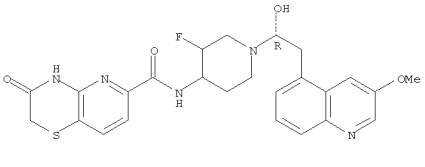
L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 910858-85-4P
RL: BYP (Byproduct); PREP (Preparation)
(regioisomeric byproduct; preparation of quinolinyl β-amino alcs. as antibiotics)

RN 910858-85-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[3-fluoro-1-[(1R)-1-hydroxy-2-(3-methoxy-5-quinolinyl)ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:410015 CAPLUS
DOCUMENT NUMBER: 144:450627
TITLE: Preparation of novel nitrogenous heterocyclic compounds and salts thereof as antibacterial agents
INVENTOR(S): Kiyoto, Taro; Tsutsui, Yasuhiro; Tanaka, Tadashi; Shimada, Sumie; Nomura, Nobuhiko; Noguchi, Toshiya; Ushiyama, Fumihito; Ushiki, Yasunobu
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan; Taisho Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 281 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

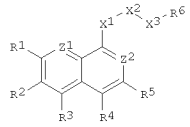
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006046552	A1	20060504	WO 2005-JP19586	20051025

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2004-311942 A 20041027

OTHER SOURCE(S): MARPAT 144:450627
GI

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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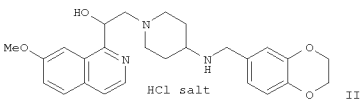
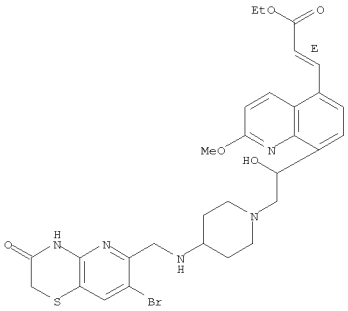


HCl salt II

AB Compds. represented by the general formula (I) including quinoline or isoquinoline derivs., or salts thereof [wherein R1 = halo, cyano, (un)protected CO2H, (un)substituted alkyl, alkoxy, acyloxy; R2-R5 = H, halo, cyano, (un)protected CO2H, (un)substituted alkyl, alkenyl, alkoxy, NH2, CONH2; Z1, Z2 = N or (un)substituted CH, provided that at least one of Z1 and Z2 = N; X1 = O, S, S(O), S(O)2, each (un)substituted NH or CH2; X2 = a bond, CO, (un)substituted NH; X3 = C1-4 alkylene or a bond; R6 = Q-Q6; wherein R1 = more than one H, halo, (un)substituted HO or CO2H or each (un)substituted NH2, lower alkyl, alkoxy, or CONH2; R11a, R11 b, R11c = H, halo, (un)protected HO or CO2H, (un)substituted NH2, lower alkyl, alkoxy, CONH2; R12 = -X6-X4-R14, -X7-C(:NH)-NH-X5-R14 -X7-CONH-R14; wherein R14 = H, (un)protected CO2H, each (un)substituted cycloalkyl, cycloalkenyl, aralkyl, aryl, or heterocyclyl; X4 = a bond, O, S, CO; X5 = a bond, (un)substituted alkylene; X6 = each (un)substituted alkylene, alkenylene, or alkynylene, SO2; X7 = a bond, (un)substituted alkylene; R13 = H, (un)substituted NH2, each (un)substituted alkyl or aryl] or salts thereof are prepared These compds. have potent antibacterial activity against Gram-neg., Gram-pos., and resistant bacteria with high safety and are therefore useful as excellent antibacterial agents. Thus, reductive alkylation of 2-(4-aminopiperidin-1-yl)-1-(7-methoxyisoquinolin-1-yl)ethanol with 1,4-benzodioxan-6-carboxaldehyde using NaBH4 followed treatment with 4 N HCl/dioxane gave 2-(4-((2,3-dihydrobenzo[b][1,4]dioxin-6-yl)methylamino)piperidin-1-yl)-1-(7-methoxyisoquinolin-1-yl)ethanol hydrochloride (II). II showed min. inhibitory concentration of 0.0313 μg/mL against both Staphylococcus aureus FDA209 and methicillin-resistant S. aureus F3095 (MRSA).

IT 885690-37-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of nitrogenous heterocyclic compds. as antibacterial agents)
RN 885690-37-9 CAPLUS
CN 2-Propenoic acid, 3-[8-[2-[4-[[(7-bromo-3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]-1-hydroxyethyl]-2-methoxy-5-quinolinyl]-, ethyl ester, (2E)- (CA INDEX NAME)

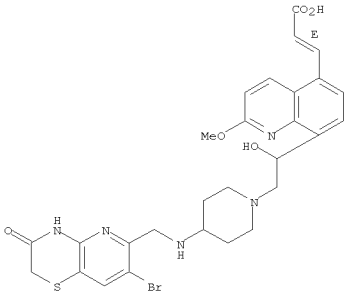
Double bond geometry as shown.



IT 885690-38-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogenous heterocyclic compds. as antibacterial agents)
RN 885690-38-0 CAPLUS
CN 2-Propenoic acid, 3-[8-[2-[4-[[(7-bromo-3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]-1-hydroxyethyl]-2-methoxy-5-quinolinyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:857391 CAPLUS
DOCUMENT NUMBER: 141:350152
TITLE: Preparation of quinoline and naphthyridine derivatives as antibacterial agents
INVENTOR(S): Hennessy, Alan J.; Miller, William Henry; Seefeld, Mark Andrew
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087145	A2	20041014	WO 2004-US9371	20040326
WO 2004087145	A3	20041104		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1605938	A2	20051221	EP 2004-758428	20040326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006521401	T	20060921	JP 2006-509364	20040326
US 2006189601	A1	20060824	US 2005-550676	20050926

PRIORITY APPLN. INFO.: US 2003-458147P P 20030327
WO 2004-US9371 W 20040326

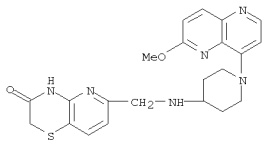
OTHER SOURCE(S): MARPAT 141:350152
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quinoline and naphthyridine derivs. I [Z1 = N or CR1a; R1 and R1a independently = H, OH, OH, NH2, (un)substituted-alkoxy, -piperidyl, etc.; R2 = H or halo, provided that when Z1 = N, then R2 =H; R3 = H, halo, OH, CN, CF3, NO2, acyl, aryl, heteroaryl, etc.; W1 = N, C, or CR4; W2 and W6 independently = CO, CR4, or CR4R5; W3 and W5 independently = CO or CR4R5; alternatively one of W2, W3, W5 or W6 = (CR4R5)2; each R4 and R5 independently = H, halo, OH, CN , CF3, acyl, aryl, etc.; A = CR6R7 or CO; B = CR8R9 or CO; R6-9 independently = H, halo, OH, CN, azido, CO2H, acylthio, (un)substituted-alkyl, etc.; R10 = H, aryl, heteroaryl, etc.; R11 = (un)substituted bicyclic carbocyclic or heterocyclic ring attached via U; U = CO, SO2, CH2, or CR16R17 wherein R16 and R17 independently = H, aryl, heteroaryl, etc.], as well as their pharmaceutically acceptable salts, are prepd. and disclosed as useful in the treatment of bacterial infections in mammals, particularly humans. Thus, e.g., II was prepd. via substitution of 4-bromo-6-methoxyquinoline with (2-piperidin-4-ylethyl)carbamic acid tert-Bu ester (prepn. given) followed by deprotection and N-alkylation with 3-oxo-3,4-dihydro-2H-pyrido[1,4]thiazine-6-carboxaldehyde. In antimicrobial assays, I possessed min. inhibitory concn. values ≤ 20 μg/mL.

IT 774609-68-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline and naphthyridine derivs. as antibacterial agents)

RN 774609-68-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-(6-methoxy-1,5-naphthyridin-4-yl)-4-piperidinyl]amino]methyl]- (CA INDEX NAME)



L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:565041 CAPLUS
DOCUMENT NUMBER: 141:140414
TITLE: Preparation of quinolines and 1,5-naphthyridines as antibacterial agents
INVENTOR(S): Axten, Jeffrey Michael; Brooks, Gerald; Brown, Pamela; Davies, David; Gallagher, Timothy Francis; Markwell, Roger Edward; Miller, William Henry; Pearson, Neil David; Seefeld, Mark
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058144	A2	20040715	WO 2003-US40032	20031217
WO 2004058144	A3	20041021		
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003300965	A1	20040722	AU 2003-300965	20031217
EP 1578743	A2	20050928	EP 2003-814042	20031217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006511622	T	20060406	JP 2005-509974	20031217
US 2006041123	A1	20060223	US 2005-538931	20050614

PRIORITY APPLN. INFO.: US 2002-434729P P 20021218
US 2003-457013P P 20030324
WO 2003-US40032 W 20031217

OTHER SOURCE(S): MARPAT 141:140414
GI

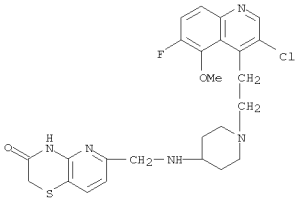
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Z1 = N, CR1a and derivs.; R, R1a = independently H, halo, alkylthio, alkyl, etc.; R1CCR1a = ethylenedioxy; R1b = H, halo; with the proviso that when Z1 = N, then R1b = H, and when Z1 = CR1a, then R1 is not H; R1c = halo; AB = CHR6-CO, CHR6-CH2; R6 = H, NH2, CH2OH, OH; R3 = up 2 substituents selected from H, halo, alkyl, hydroxyalkyl, CONH2, CO2H, CH2CONH2, etc.; R4 = UR5; R5 = (un)substituted bicyclyl carbocyclyl or heterocyclyl containing up to 4 heteroatoms in each ring; U = CO, SO2, CH2; and their pharmaceutically acceptable salts] were prepd. for treating bacterial infections in mammals, in particular humans. For example, II was prepd. by hydrogenation of 5-benzyloxy-2-hydroxymethyl-1H-pyridin-4-one with Pd/C, cyclization with 1,2-dibromoethane, oxidn. of the alc., and reductive alkylation of the amine III (prepn. given) with the resulting aldehyde. Selected I displayed MIC's ≤ 2 μg/mL against Staphylococcus aureus, E. coli, etc.

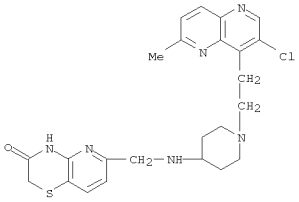
IT 724787-70-6P, 6-[[[1-[2-(3-Chloro-6-fluoro-5-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724787-78-4P, 6-[[[1-[2-(3-Chloro-6-methyl-[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724788-04-9P, 6-[[[1-[2-(3-Fluoro-6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724788-15-2P, 6-[[[1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)-2-hydroxyethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724788-24-3P, (3S,4S)-6-[[[1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724788-30-1P, (3R,4R)-6-[[[1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724788-89-0P, 6-[[[(3S,4R)-1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 724788-91-4P, N-[(3S,4R)-1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide 724790-04-9P, 6-[[[1-[2-(3,6-Difluoroquinolin-4-yl)ethyl]-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 724790-56-1P, (3R,4R)-6-[[[1-[2-[3-Fluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 724790-72-1P, 6-[[[(3S,4R)-1-[2-[3-Chloro-8-fluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antibacterial agent; preparation of quinolines and 1,5-naphthyridines as antibacterial agents)

RN 724787-70-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-fluoro-5-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

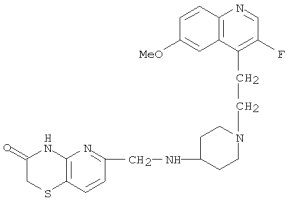


RN 724787-78-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methyl-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

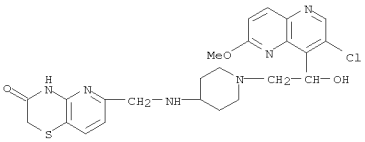


RN 724788-04-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



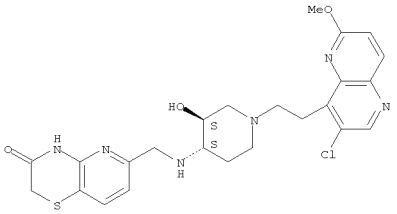
RN 724788-15-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)-2-hydroxyethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)



RN 724788-24-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4S)-1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

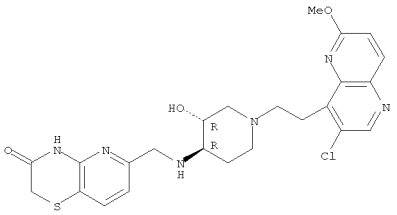
Absolute stereochemistry.

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 724788-30-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

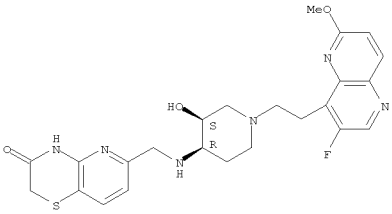
Absolute stereochemistry.



RN 724788-89-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

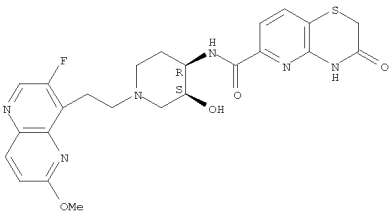
Absolute stereochemistry.

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



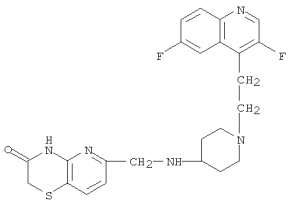
RN 724788-91-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3S,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.



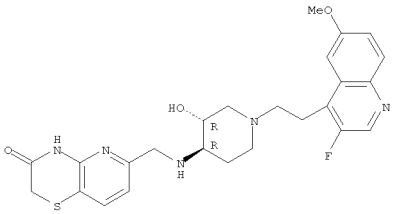
RN 724790-04-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3,6-difluoro-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 724790-56-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

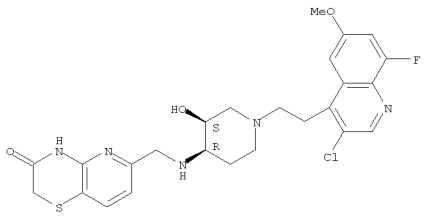
Absolute stereochemistry.



RN 724790-72-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 724787-39-7P, 6-[[[1-[2-(3-Chloro-6-methoxyquinolin-4-yl)ethyl]-(3R,4S)-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724787-40-0P, 6-[[[1-[2-(3-Chloro-6-methoxyquinolin-4-yl)ethyl]-(3S,4R)-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724787-43-3P, 6-[[[1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-(3R,4S)-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724787-44-4P, 6-[[[(1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-3S,4R)-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724787-48-8P, 6-[[[1-[2-(3-Chloro-6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724787-50-2P, 6-[[[1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724787-55-7P, 6-[[[1-[2-(3-Chloro-6-methoxyquinolin-4-yl)ethyl]-(3S,4S)-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724787-59-1P, 6-[[[1-[2-(3-Chloro-6-methoxyquinolin-4-yl)ethyl]-(3R,4R)-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724787-64-8P, 6-[[[1-[2-(3-Chloro-6-methoxyquinolin-4-yl)ethyl]-4-hydroxymethylpiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724787-65-9P, 6-[[[1-[2-(3-Chloro-6-fluoro-5-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Dihydrochloride 724787-71-7P, 6-[[[1-[2-(3-Chloro-6-methyl-[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Dihydrochloride 724787-85-3P, 6-[[[1-[2-(3-Chloro-6-fluoroquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724787-92-2P, 6-[[[1-[2-(3,6-Dichloroquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 724788-14-1P, 6-[[[1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)-2-hydroxyethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Dihydrochloride 724788-20-9P, (3S,4S)-6-[[[1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one

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Dihydrochloride 724788-27-6P, (3R,4R)-6-[[[1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one dihydrochloride 724788-83-4P, 7-Fluoro-N-[1-[2-[3-fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide 724788-87-8P, 6-[[[(3S,4R)-1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724788-90-3P, N-[(3S,4R)-1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide hydrochloride 724789-53-1P, N-[1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-4-(hydroxymethyl)-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide 724789-57-5P, N-[1-[2-[3-Fluoro-6-(methoxy)-4-quinolinyl]ethyl]-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide 724789-68-8P, 6-[[[(3R,4S)-1-[2-[3-Chloro-8-fluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 724789-99-5P, 6-[[[1-[2-[3-Chloro-6-(methoxy)-1,5-naphthyridin-4-yl]-3-hydroxypropyl]-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 724790-00-5P, 6-[[[1-[2-(3,6-Difluoroquinolin-4-yl)ethyl]-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724790-11-8P, 6-[[[(3S,4S)-1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724790-16-3P, 6-[[[(3S,4S)-1-[2-[3-Fluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724790-17-4P 724790-26-5P, trans-6-[[[1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-3-methyl-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 724790-35-6P, 6-[[[trans-1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-methyl-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 724790-55-0P, (3R,4R)-6-[[[1-[2-[3-Fluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724790-57-2P, (3R,4R)-N-[1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]-3-oxo-3,4-dihydro-2-pyrido[3,2-b][1,4]thiazine-6-carboxamide hydrochloride 724790-58-3P, 6-[[[(3R,4R)-1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 724790-66-3P, cis-6-[[[1-[2-[3-Chloro-8-fluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-fluoro-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 724790-69-6P, cis-N-[1-[2-[3,8-Difluoro-6-(methoxy)-4-quinolinyl]ethyl]-3-fluoro-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide 724790-79-8P, 6-[[[1-[2-[3-Chloro-8-fluoro-6-(methoxy)quinolin-4-yl]ethyl]-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 724790-86-7P, 6-[[[(3S,4R)-1-[2-(3,6-Dichloroquinolin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 724790-90-3P, 6-[[[(3S,4R)-1-[2-(3-Chloro-6-fluoroquinolin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one

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Chloro-6-methoxyquinolin-4-yl)ethyl]-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Dihydrochloride 724791-25-7P, 6-[[[(3S,4R)-1-[2-(3-Chloro-6-methoxyquinolin-4-yl)ethyl]-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Dihydrochloride 724791-28-0P, 6-[[[(3R,4S)-1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Dihydrochloride 724791-29-1P, 6-[[[(3S,4R)-1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Dihydrochloride 724791-30-4P, 6-[[[1-[2-(3-Chloro-6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Trihydrochloride 724791-32-6P, 6-[[[1-[2-(3-Chloro-6-methoxy-[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Dihydrochloride 724791-34-8P, 6-[[[1-[2-(3-Chloro-6-methoxyquinolin-4-yl)ethyl]-(3S,4S)-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Trihydrochloride 724791-36-0P, 6-[[[(3R,4R)-1-[2-(3-Chloro-6-methoxyquinolin-4-yl)ethyl]-3-hydroxypiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Trihydrochloride 724791-38-2P, 6-[[[1-[2-(3-Chloro-6-methoxyquinolin-4-yl)ethyl]-4-hydroxymethylpiperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Dihydrochloride 724791-40-6P, 6-[[[1-[2-(3-Chloro-6-fluoroquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Dihydrochloride 724791-43-9P, 6-[[[1-[2-(3,6-Dichloroquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one Dihydrochloride 724791-45-1P, 6-[[[1-[2-(3-Fluoro-6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one dihydrochloride 724791-52-0P, 6-[[[1-[2-[3,8-Difluoro-6-(methoxy)quinolin-4-yl]ethyl]-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724791-60-0P, 6-[[[1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724791-63-3P, 7-Fluoro-N-[1-[2-[3-fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide dihydrochloride 724791-65-5P, N-[1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide 724791-68-8P, 6-[[[(3R,4S)-1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724791-71-3P, 6-[[[(3R,4S)-1-[2-[3,8-Difluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724791-78-0P, N-[(3,4-Dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]-1-[2-[3-fluoro-6-(methoxy)-4-quinolinyl]ethyl]-4-piperidinamine dihydrochloride 724791-85-9P, N-[1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-4-(hydroxymethyl)-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide hydrochloride 724791-87-1P,

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

N-[1-[2-[3-Fluoro-6-(methoxy)-4-quinolinyl]ethyl]-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide hydrochloride 724791-89-3P, 6-[[[(3R,4S)-1-[2-[3-Chloro-8-fluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724791-91-7P, 6-[[[1-[2-[3-Chloro-6-(methoxy)-1,5-naphthyridin-4-yl]-3-hydroxypropyl]-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724791-97-3P, N-[(3S,4S)-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-(piperidin-1-yl)]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide hydrochloride 724791-98-4P, trans-6-[[[1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-3-methyl-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724792-00-1P, 6-[[[trans-1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-methyl-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724792-07-8P, (3R,4R)-N-[1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide hydrochloride 724792-12-5P, 6-[[[1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-4-methyl-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724792-15-8P, cis-6-[[[1-[2-[3-Chloro-8-fluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-fluoro-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724792-22-7P, (+)-cis-6-[[[1-[2-[3,8-Difluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-fluoro-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724792-23-8P, (-)-cis-6-[[[1-[2-[3,8-Difluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-fluoro-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724792-24-9P, cis-N-[1-[2-[3,8-Difluoro-6-(methoxy)-4-quinolinyl]ethyl]-3-fluoro-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide hydrochloride 724792-25-0P, 6-[[[(3S,4R)-1-[2-[3-Chloro-8-fluoro-6-(methoxy)quinolin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724792-31-8P, 6-[[[(3S,4R)-1-[2-(3,6-Dichloroquinolin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724792-33-0P, 6-[[[(3S,4R)-1-[2-(3-Chloro-6-fluoroquinolin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one dihydrochloride 724792-36-3P, N-[1-[2-[3-Fluoro-6-(methoxy)-1,5-naphthyridin-4-yl]ethyl]-4-methyl-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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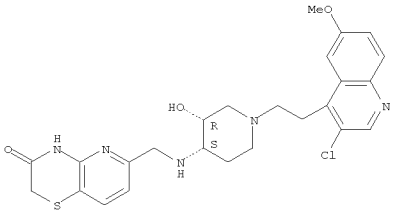
(antibacterial agent; prepn. of quinolines and 1,5-naphthyridines as antibacterial agents)

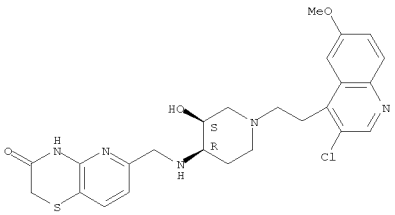
RN 724787-39-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 724787-40-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

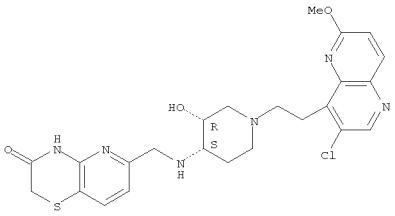
Absolute stereochemistry.



RN 724787-43-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-

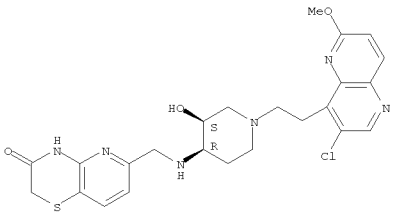
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(CA INDEX NAME)

Absolute stereochemistry.



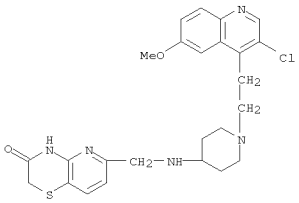
RN 724787-44-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

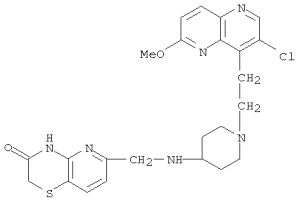


RN 724787-48-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



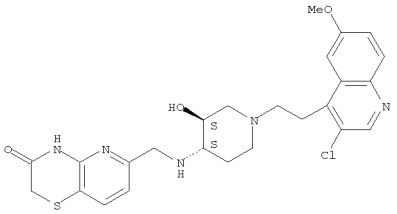
RN 724787-50-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)



RN 724787-55-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4S)-1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

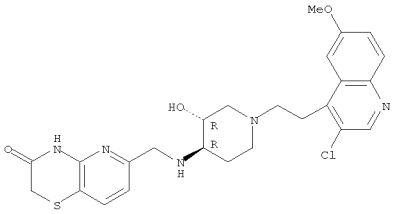
Absolute stereochemistry.

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



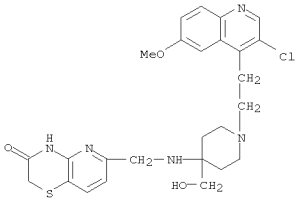
RN 724787-59-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

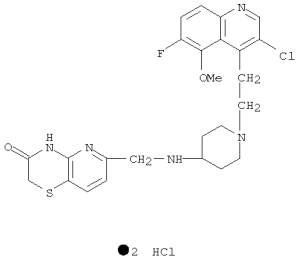


RN 724787-64-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-4-(hydroxymethyl)-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



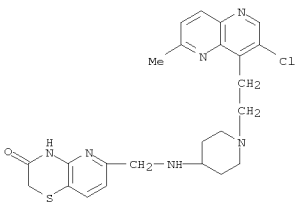
RN 724787-65-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-fluoro-5-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

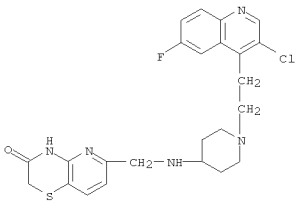
RN 724787-71-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methyl-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



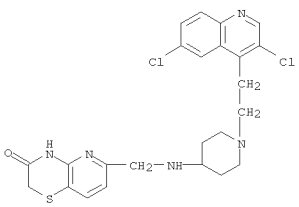
●2 HCl

RN 724787-85-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-fluoro-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

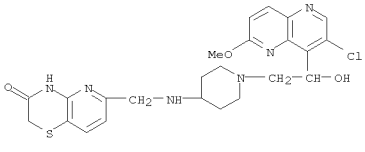


RN 724787-92-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3,6-dichloro-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 724788-14-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)-2-hydroxyethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

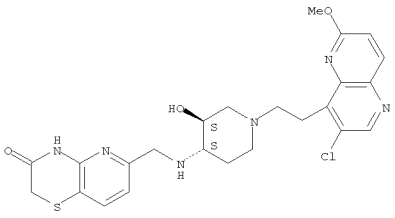


●2 HCl

RN 724788-20-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4S)-1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

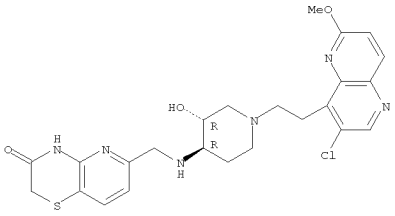
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

RN 724788-27-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

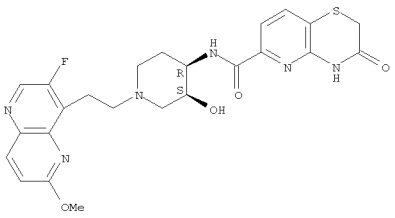


●2 HCl

RN 724788-83-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 7-fluoro-N-[1-[2-(3-fluoro-6-

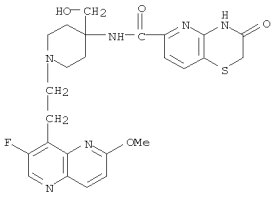
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



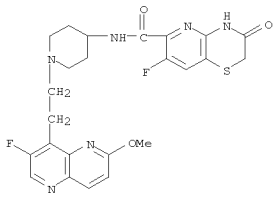
●x HCl

RN 724789-53-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-(hydroxymethyl)-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)



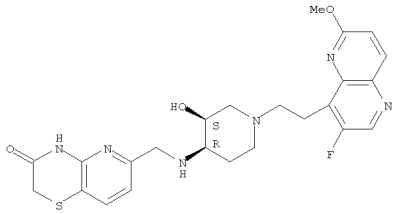
RN 724789-57-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)



RN 724788-87-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

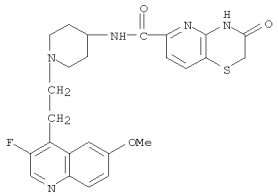
Absolute stereochemistry.



●2 HCl

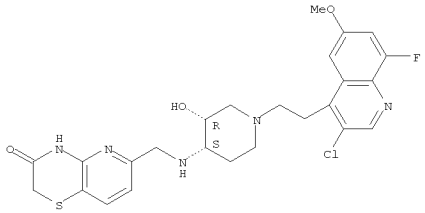
RN 724788-90-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3S,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]-3,4-dihydro-3-oxo-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



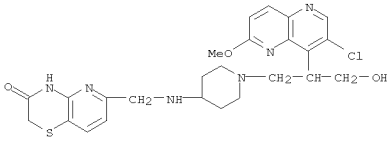
RN 724789-68-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

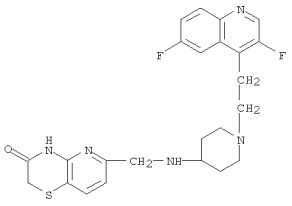


RN 724789-99-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)-3-hydroxypropyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 724790-00-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3,6-difluoro-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

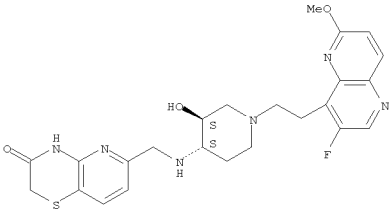


●2 HCl

RN 724790-11-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4S)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

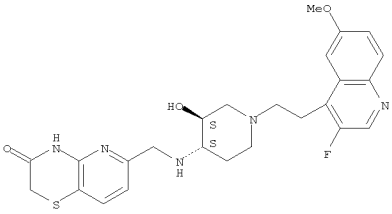
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

RN 724790-16-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4S)-1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

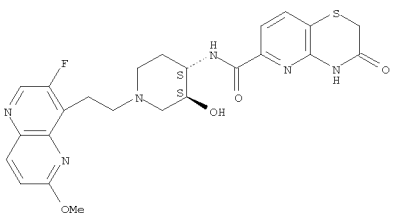
Absolute stereochemistry.



●2 HCl

RN 724790-17-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3S,4S)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]-3,4-dihydro-3-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

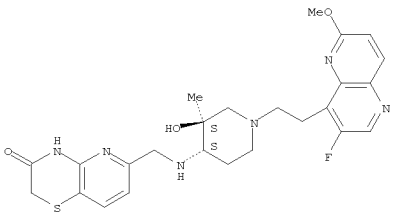
Absolute stereochemistry.



●2 HCl

RN 724790-26-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-3-methyl-4-piperidinyl]amino]methyl]-, rel- (CA INDEX NAME)

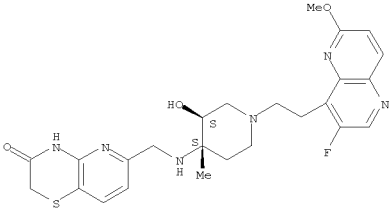
Relative stereochemistry.



RN 724790-35-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-methyl-4-piperidinyl]amino]methyl]-, rel- (CA INDEX NAME)

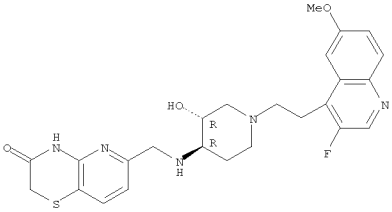
Relative stereochemistry.

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 724790-55-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

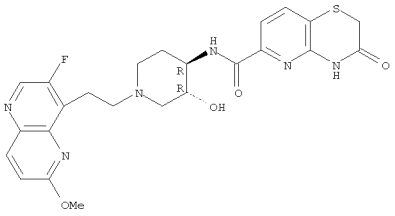


●2 HCl

RN 724790-57-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3R,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]-3,4-dihydro-3-oxo-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

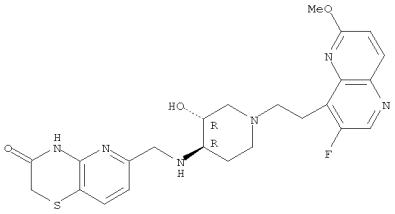
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●x HCl

RN 724790-58-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

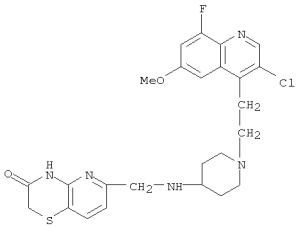
Absolute stereochemistry.



RN 724790-66-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinolinyl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]-, rel- (CA INDEX NAME)

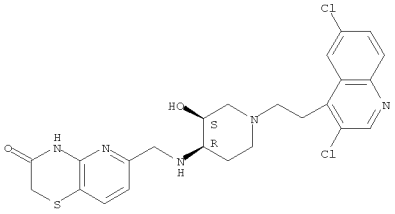
Relative stereochemistry.

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 724790-86-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3,6-dichloro-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

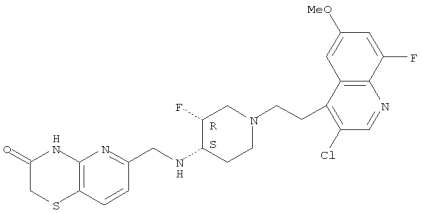
Absolute stereochemistry.



RN 724790-90-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3-chloro-6-fluoro-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

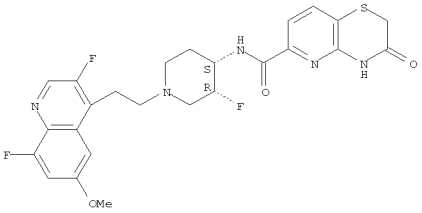
Absolute stereochemistry.

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



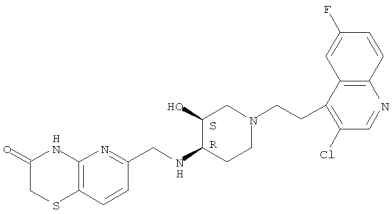
RN 724790-69-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3R,4S)-1-[2-(3,8-difluoro-6-methoxy-4-quinolinyl)ethyl]-3-fluoro-4-piperidinyl]-3,4-dihydro-3-oxo-, rel- (CA INDEX NAME)

Relative stereochemistry.



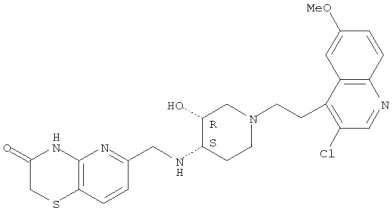
RN 724790-79-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 724791-24-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

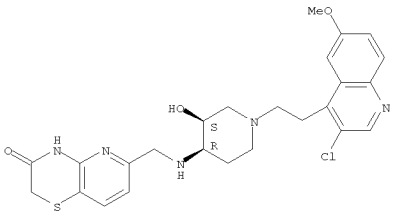


●2 HCl

RN 724791-25-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

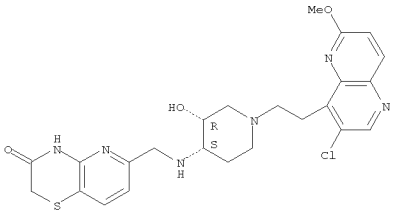
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

RN 724791-28-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

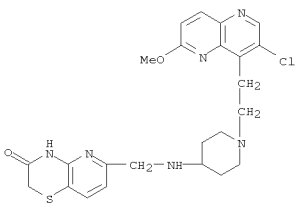
Absolute stereochemistry.



●2 HCl

RN 724791-29-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-

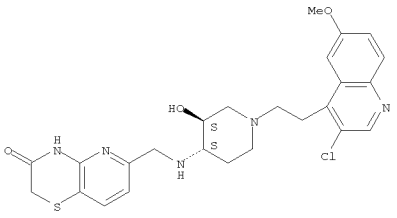
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 724791-34-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4S)-1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



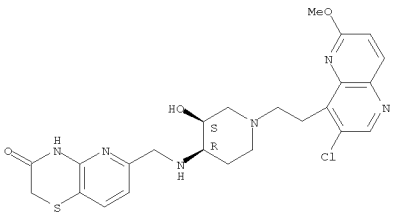
●3 HCl

RN 724791-36-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

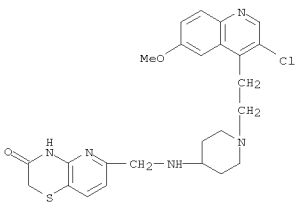
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

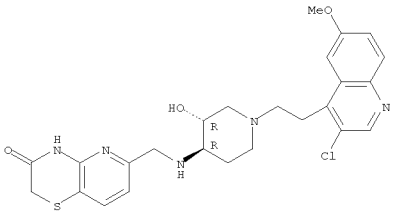
RN 724791-30-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

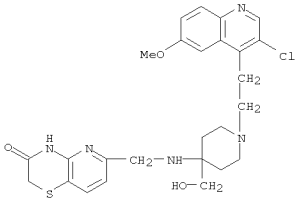
RN 724791-32-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methoxy-1,5-

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●3 HCl

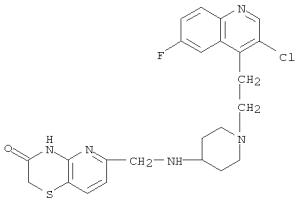
RN 724791-38-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-4-(hydroxymethyl)-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

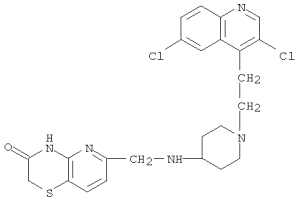
RN 724791-40-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-fluoro-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA
INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

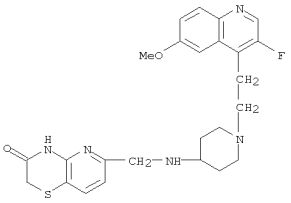
RN 724791-43-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3,6-dichloro-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

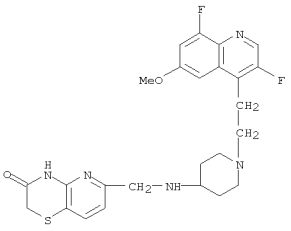
RN 724791-45-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

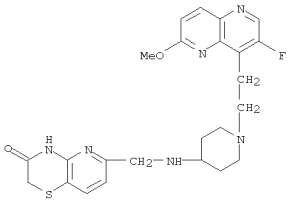
RN 724791-52-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3,8-difluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

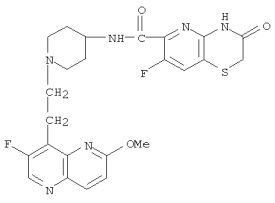
RN 724791-60-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

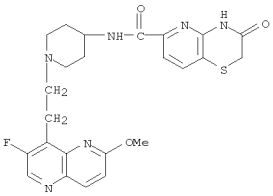
RN 724791-63-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 7-fluoro-N-[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

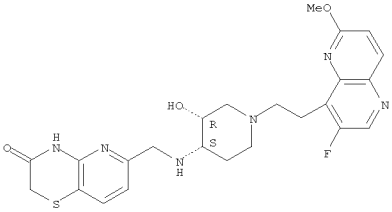
RN 724791-65-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 724791-68-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

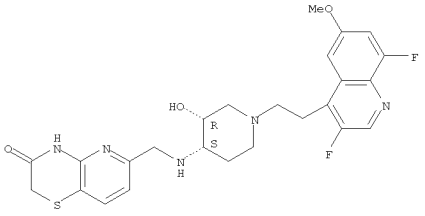


●2 HCl

RN 724791-71-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3,8-difluoro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

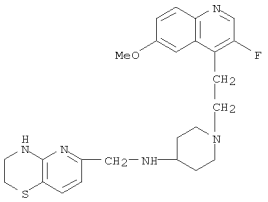
Absolute stereochemistry.

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

RN 724791-78-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-methanamine, N-[1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]-3,4-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

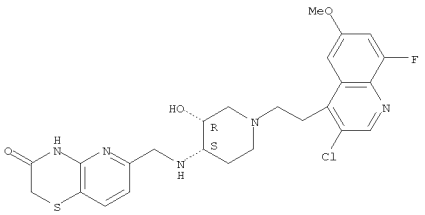


● 2 HCl

RN 724791-85-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-(hydroxymethyl)-4-piperidinyl]-3,4-dihydro-3-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

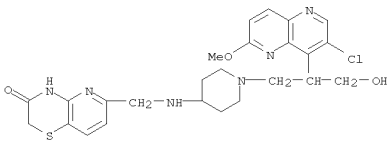
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



● 2 HCl

RN 724791-91-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)-3-hydroxypropyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

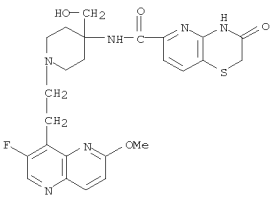


● 2 HCl

RN 724791-97-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3S,4S)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]-3,4-dihydro-3-oxo-, hydrochloride (9CI) (CA INDEX NAME)

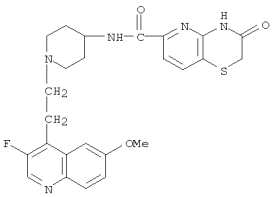
Absolute stereochemistry.

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

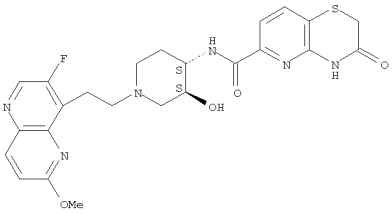
RN 724791-87-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 724791-89-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

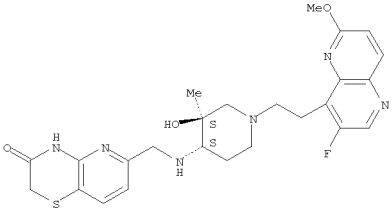
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● x HCl

RN 724791-98-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-3-methyl-4-piperidinyl]amino]methyl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

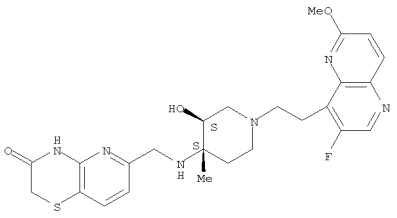


● 2 HCl

RN 724792-00-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-methyl-4-piperidinyl]amino]methyl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

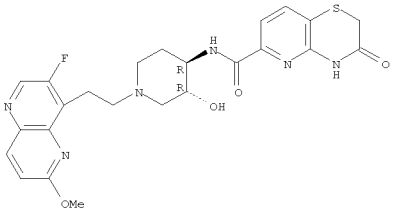
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

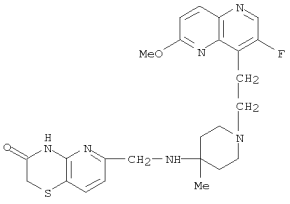
RN 724792-07-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3R,4R)-1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]-3,4-dihydro-3-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

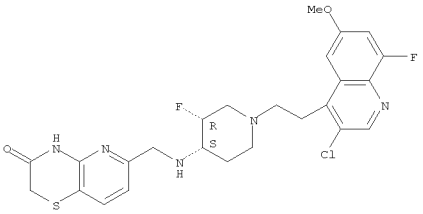
RN 724792-12-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-methyl-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 724792-15-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinolinyl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

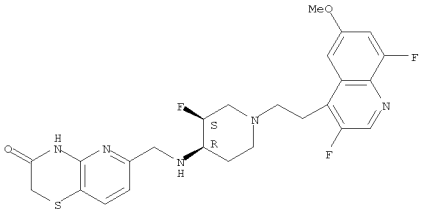
Relative stereochemistry.



● 2 HCl

RN 724792-22-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3,8-difluoro-6-methoxy-4-quinolinyl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]-, dihydrochloride, rel-(+)- (9CI) (CA INDEX NAME)

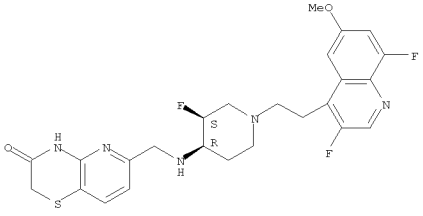
Rotation (+). Absolute stereochemistry unknown.



● 2 HCl

RN 724792-23-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3,8-difluoro-6-methoxy-4-quinolinyl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]-, dihydrochloride, rel-(-)- (9CI) (CA INDEX NAME)

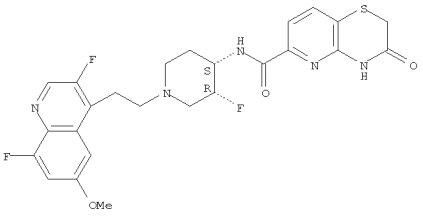
Rotation (-). Absolute stereochemistry unknown.



● 2 HCl

RN 724792-24-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[(3R,4S)-1-[2-(3,8-difluoro-6-methoxy-4-quinolinyl)ethyl]-3-fluoro-4-piperidinyl]-3,4-dihydro-3-oxo-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

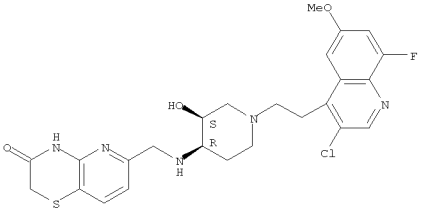
Relative stereochemistry.



● HCl

RN 724792-25-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

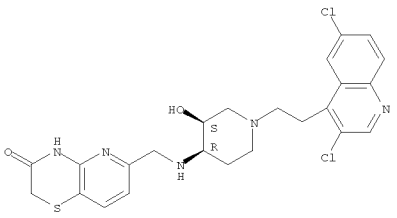


● 2 HCl

RN 724792-31-8 CAPLUS

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3,6-dichloro-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

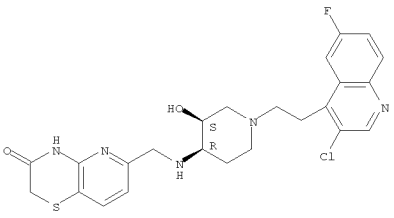


●2 HCl

RN 724792-33-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3-chloro-6-fluoro-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

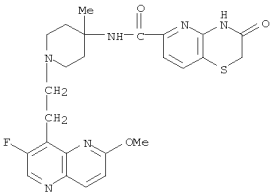
Absolute stereochemistry.

L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



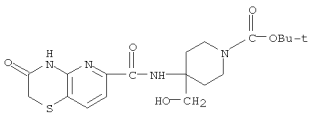
●2 HCl

RN 724792-36-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-methyl-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)

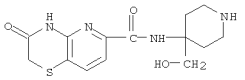


IT 724789-48-4P, 1,1-Dimethylethyl 4-(hydroxymethyl)-4-[[(3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)carbonyl]amino]-1-piperidinecarboxylate 724789-50-8P, N-[4-(Hydroxymethyl)-4-piperidinyl]-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinolines and 1,5-naphthyridines as antibacterial agents)
RN 724789-48-4 CAPLUS

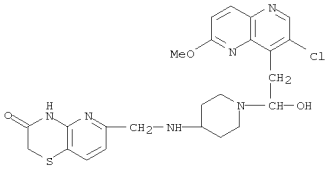
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 1-Piperidinecarboxylic acid, 4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)carbonyl]amino]-4-(hydroxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 724789-50-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[4-(hydroxymethyl)-4-piperidinyl]-3-oxo- (CA INDEX NAME)



IT 724788-16-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(secondary product; preparation of quinolines and 1,5-naphthyridines as antibacterial agents)
RN 724788-16-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(3-chloro-6-methoxy-1,5-naphthyridin-4-yl)-1-hydroxyethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)



L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

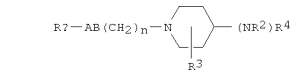
ACCESSION NUMBER: 2004:20502 CAPLUS
DOCUMENT NUMBER: 140:94052
TITLE: Preparation of [[(pyrido[3.2-b][1,4]thiazinyl)methyl]amino]piperidines and analogs as antibacterial agents
INVENTOR(S): Axten, Jeffrey Michael; Daines, Robert A.; Davies, David Thomas; Gallagher, Timothy Francis; Jones, Graham Elgin; Miller, William Henry; Pearson, Neil David; Pendrak, Israil
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002490	A2	20040108	WO 2003-EP6754	20030625
WO 2004002490	A3	20051027		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003238054	A1	20040119	AU 2003-238054	20030625
EP 1583537	A2	20051012	EP 2003-735685	20030625
EP 1583537	A3	20051214		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505505	T	20060216	JP 2004-516690	20030625
US 2006058287	A1	20060316	US 2005-518655	20050714

PRIORITY APPLN. INFO.: US 2002-391710P P 20020626
WO 2003-EP6754 W 20030625

OTHER SOURCE(S): MARPAT 140:94052
GI

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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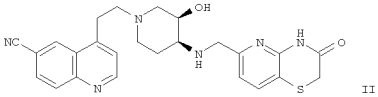


II

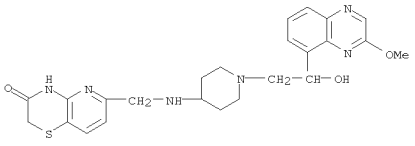
AB Title compds. I [wherein RA = (un)substituted bicyclic carbocycle, heterocycle; R2 = H, or (un)substituted alkyl, alkenyl; R3 = H, carboxy, alkoxycarbonyl, aminocarbonyl, etc.; R4 = UR5: U = CO, SO2, CH2; R5 = (un)substituted bicyclic carbocycle or heterocycle; n = 0-1; AB = aminocarbonyl, alkylcarbonyl, aminosulfonyl, etc.; and pharmaceutically acceptable derivs. thereof] were prepared as antibacterial agents. For example, reductive alkylation of 4-[2-[(3R,4S)-4-amino-3-hydroxy-1-piperidinyl]ethyl]-6-quinolinecarbonitrile•2HCl with 3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxaldehyde afforded II in 60% yield. II•2HCl had MIC ≤ 2 μg/mL against bacterial infections, such as S. epidermidis CL7. Thus, I and their pharmaceutical compns. are useful for the treatment of bacterial infections in mammals, particularly in humans.

IT 642477-72-3P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(Preparation of [[(pyrido[3.2-b][1,4]thiazinyl)methyl]amino]piperidines and analogs as antibacterial agents)

RN 642477-72-3 CAPLUS

CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-hydroxy-2-(3-methoxy-5-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

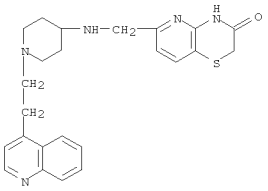


●2 HCl

IT 642478-39-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(Preparation of [[(pyrido[3.2-b][1,4]thiazinyl)methyl]amino]piperidines and analogs as antibacterial agents)

RN 642478-39-5 CAPLUS

CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)



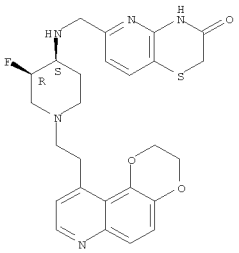
IT 577692-24-1P 642477-76-7P 642477-78-9P
642477-80-3P 642478-35-1P 642478-36-2P
642478-37-3P 642478-40-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of [[(pyrido[3.2-b][1,4]thiazinyl)methyl]amino]piperidines and analogs as antibacterial agents)

RN 577692-24-1 CAPLUS

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

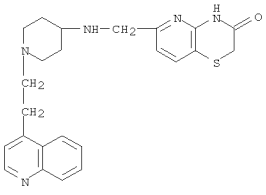
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(2,3-dihydro-1,4-dioxino[2,3-f]quinolin-10-yl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 642477-76-7 CAPLUS

CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



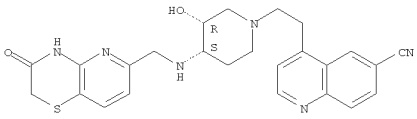
●2 HCl

RN 642477-78-9 CAPLUS

CN 6-Quinolinecarbonitrile, 4-[2-[(3R,4S)-4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-hydroxy-1-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

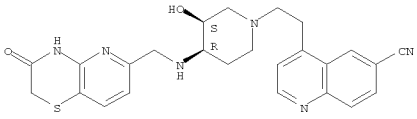


●2 HCl

RN 642477-80-3 CAPLUS

CN 6-Quinolinecarbonitrile, 4-[2-[(3S,4R)-4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-hydroxy-1-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



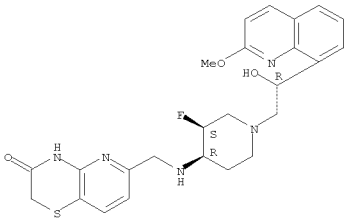
●2 HCl

RN 642478-35-1 CAPLUS

CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-3-fluoro-1-[(2R)-2-hydroxy-2-(2-methoxy-8-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

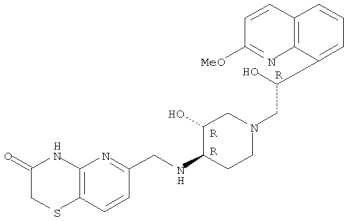
Absolute stereochemistry.

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 642478-36-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-3-hydroxy-1-[(2R)-2-hydroxy-2-(2-methoxy-8-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

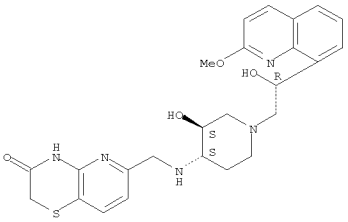
Absolute stereochemistry.



RN 642478-37-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4S)-3-hydroxy-1-[(2R)-2-hydroxy-2-(2-methoxy-8-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

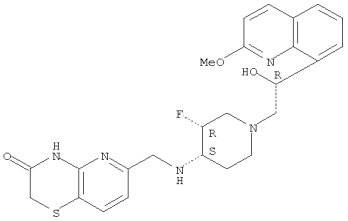
Absolute stereochemistry.

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 642478-40-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(2-methoxy-8-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

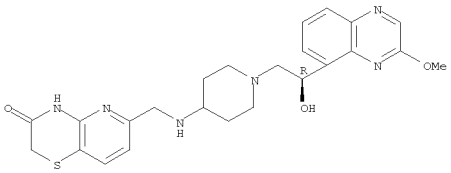
Absolute stereochemistry.



IT 642478-47-5P 642478-48-6P
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(Preparation of [[(pyrido[3.2-b][1,4]thiazinyl)methyl]amino]piperidines and analogs as antibacterial agents)

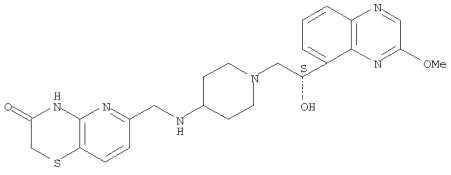
RN 642478-47-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[(2R)-2-hydroxy-2-(3-methoxy-5-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



RN 642478-48-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[(2S)-2-hydroxy-2-(3-methoxy-5-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

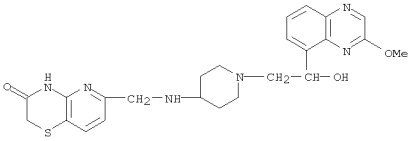
Absolute stereochemistry.



IT 642478-41-9P 642478-45-3P 642478-49-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Preparation of [[(pyrido[3.2-b][1,4]thiazinyl)methyl]amino]piperidines and analogs as antibacterial agents)

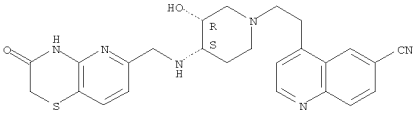
RN 642478-41-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-hydroxy-2-(3-methoxy-5-quinoxalinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



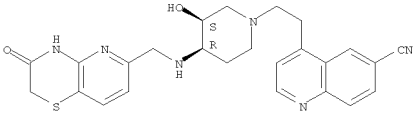
RN 642478-45-3 CAPLUS
CN 6-Quinolinecarbonitrile, 4-[2-[(3R,4S)-4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-hydroxy-1-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 642478-49-7 CAPLUS
CN 6-Quinolinecarbonitrile, 4-[2-[(3S,4R)-4-[[(3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-hydroxy-1-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



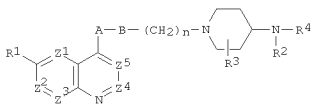
L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:610449 CAPLUS
DOCUMENT NUMBER: 139:164798
TITLE: Preparation of aminopiperidine derivatives for treatment of bacterial infections
INVENTOR(S): Miller, William Henry; Pearson, Neil David; Pendrak, Israil; Seefeld, Mark Andrew
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Daines, Robert A
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064421	A1	20030807	WO 2003-EP823	20030127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1470125	A1	20041027	EP 2003-734701	20030127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005525324	T	20050825	JP 2003-564044	20030127
US 2005159411	A1	20050721	US 2004-502233	20040722
US 7312212	B2	20071225		

PRIORITY APPLN. INFO.: GB 2002-2026 A 20020129
GB 2002-29824 A 20021220
WO 2003-EP823 W 20030127

OTHER SOURCE(S): MARPAT 139:164798
GI

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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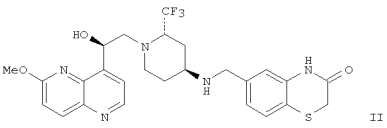


II

AB Title compds. I [one of Z1-5 = N, one = CR1a and the remainder = CH or one of Z1-5 = CR1a and the remainder = CH; R1-1a = H, OH, alkoxy, amino, etc.; R2 = H, alkyl, alkenyl; R3 = CF3, 2-oxo, etc.; R4 = UR5; U = CO, SO2, CH2; R5 = bicyclic, heterocyclic ring system A; n = 0-1; AB = amido, alkylacyl, aminosulfonyl, etc.] are prepared For instance, bromomethyl (6-methoxy[1,5]naphthyridin-4-yl)ketone (preparation given) is reduced (PhMe, (+)-DIPCl) to give the (R)-alc., converted to the oxirane (MeOH, K2CO3) and used to alkylate [(2S,4S)-2-(trifluoromethyl)piperidin-4-yl]carbamic acid tert-Bu ester (preparation given) and deprotected to give (1R)-2-[(2S,4S)-4-amino-2-(trifluoromethyl)piperidin-1-yl]-1-(6-methoxy[1,5]naphthyridin-4-yl)ethanol. This amine is alkylated with 3-oxo-3,4-dihydro-2H-benzo[1,4]thiazine-6-carboxaldehyde (preparation given) (EtOH, NaBH4) to give II. Selected examples have MICs ≤ 2 μg/mL vs., e.g., S. epidermidis CL7, S. aureus WCUH29, etc.

IT 577691-58-8P, 6-[[[(3S,4R)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577691-63-5P, 6-[[[(3R,4S)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577691-67-9P, 7-Chloro-6-[[[(3S,4R)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577691-73-7P, 7-Chloro-6-[[[(3R,4S)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-

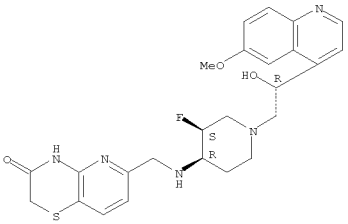
L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577691-76-0P, 7-Fluoro-6-[[[(3S,4R)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577691-77-1P, 7-Fluoro-6-[[[(3R,4S)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577691-91-9P, 6-[[[(3S,4S)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577691-92-0P, 6-[[[(3R,4R)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577691-98-6P, 7-Fluoro-6-[[[(3S,4S)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577691-99-7P, 7-Fluoro-6-[[[(3R,4R)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577692-06-9P, 6-[[[(3S,4R)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxy[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577692-07-0P, 6-[[[(3R,4S)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxy[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577692-12-7P 577692-13-8P 577692-14-9P 577692-17-2P 577692-18-3P 577692-20-7P, 6-[[[(3S,4R)-3-Fluoro-1-[(S)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577692-21-8P, 6-[[[(3R,4S)-3-Fluoro-1-[(S)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577692-24-1P 577692-25-2P 577692-32-1P 577692-33-2P 577692-40-1P,

6-[[[(2S,4S)-1-[(R)-2-Hydroxy-2-(6-methoxy[1,5]naphthyridin-4-yl)ethyl]-2-(trifluoromethyl)piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 577692-41-2P, 6-[[[(2S,4R)-1-[(R)-2-Hydroxy-2-(6-methoxy[1,5]naphthyridin-4-yl)ethyl]-2-(trifluoromethyl)piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopiperidine derivs. for treatment of bacterial infections)

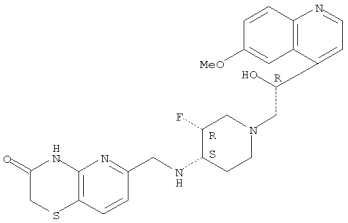
RN 577691-58-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 577691-63-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

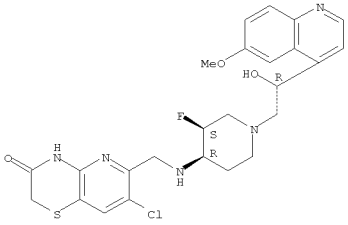
Absolute stereochemistry.



RN 577691-67-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[(3S,4R)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

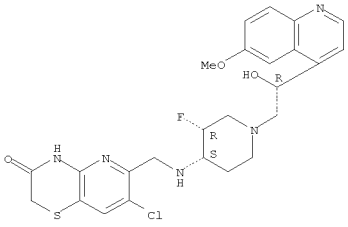
Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 577691-73-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[(3R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

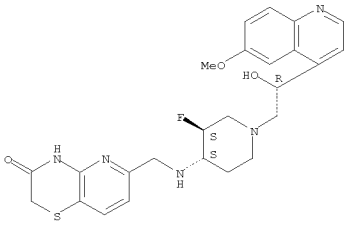
Absolute stereochemistry.



RN 577691-76-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-fluoro-6-[[[(3S,4R)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

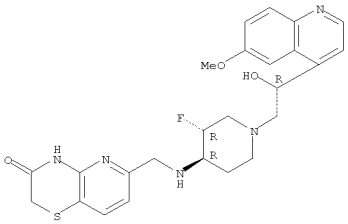
Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 577691-92-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

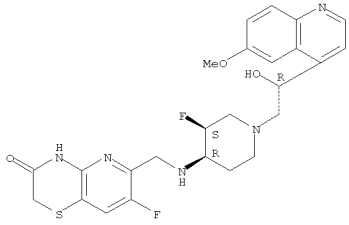
Absolute stereochemistry.



RN 577691-98-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-fluoro-6-[[[(3S,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

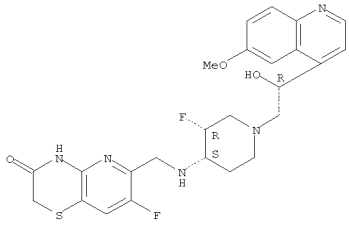
Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 577691-77-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-fluoro-6-[[[(3R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

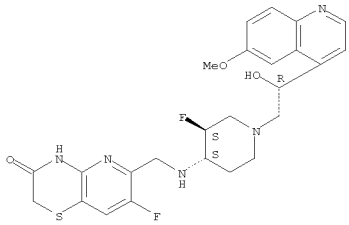
Absolute stereochemistry.



RN 577691-91-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

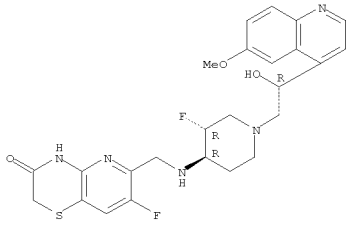
Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 577691-99-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-fluoro-6-[[[(3R,4R)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

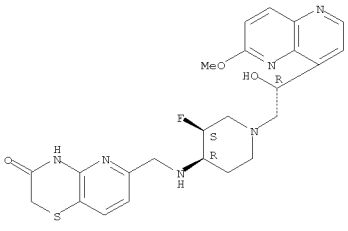
Absolute stereochemistry.



RN 577692-06-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

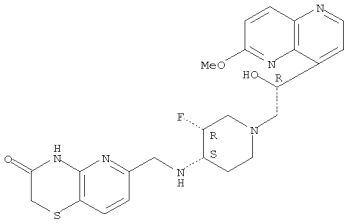
Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 577692-07-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

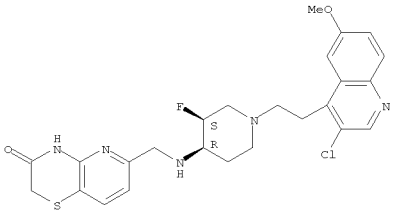
Absolute stereochemistry.



RN 577692-12-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[3-fluoro-1-[(2R)-2-(8-fluoro-6-methoxy-4-quinolinyl)-2-hydroxyethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

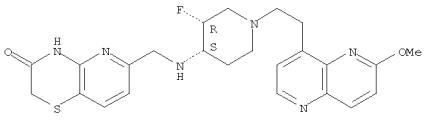
Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



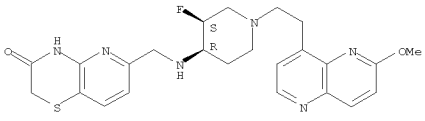
RN 577692-17-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-3-fluoro-1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



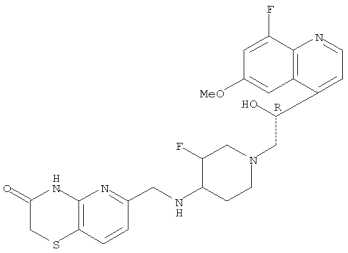
RN 577692-18-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-3-fluoro-1-[2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



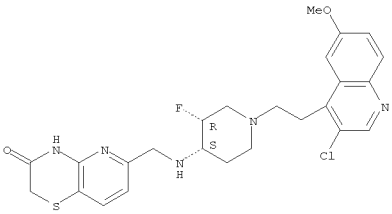
RN 577692-20-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-3-fluoro-1-[(2S)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-
(CA
INDEX NAME)

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 577692-13-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

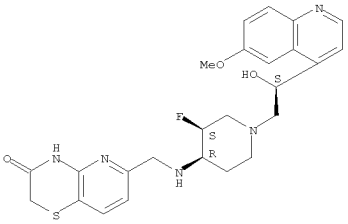
Absolute stereochemistry.



RN 577692-14-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3S,4R)-1-[2-(3-chloro-6-methoxy-4-quinolinyl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

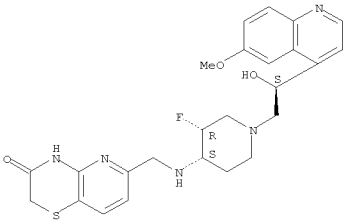
Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



RN 577692-21-8 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-3-fluoro-1-[(2S)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-
(CA
INDEX NAME)

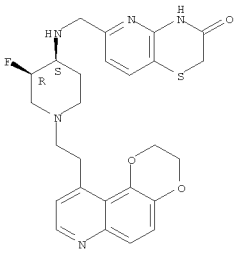
Absolute stereochemistry.



RN 577692-24-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-1-[2-(2,3-dihydro-1,4-dioxino[2,3-f]quinolin-10-yl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

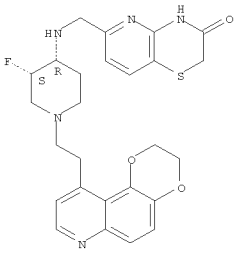
Absolute stereochemistry.

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 577692-25-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one,
6-[[[(3S,4R)-1-[2-(2,3-dihydro-1,4-
dioxino[2,3-f]quinolin-10-yl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]-
(CA INDEX NAME)

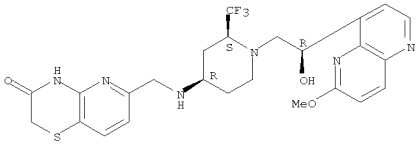
Absolute stereochemistry.



RN 577692-32-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one,
6-[[[(3R,4S)-1-[2-(6,8-difluoro-4-
quinolinyl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

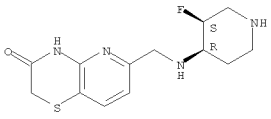
L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-2-(trifluoromethyl)-4-
piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



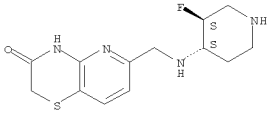
IT 577691-57-7P, rel-(3S,4R)-3-Fluoro-4-[[[3-oxo-3,4-dihydro-2H-
pyrido[3,2-b][1,4]thiazin-6-yl]methyl]amino]piperidine
577691-93-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of aminopiperidine derivs. for treatment of bacterial
infections)
RN 577691-57-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4S)-3-fluoro-4-
piperidinyl]amino]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



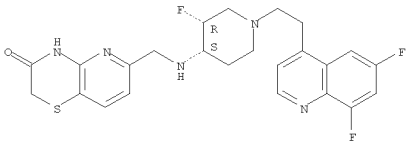
RN 577691-93-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(3R,4R)-3-fluoro-4-
piperidinyl]amino]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



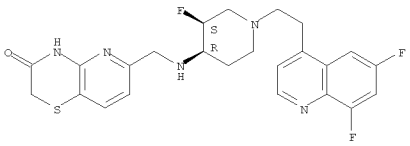
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



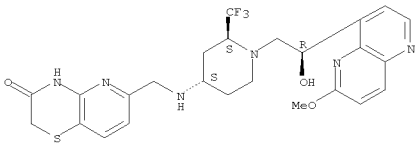
RN 577692-33-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one,
6-[[[(3S,4R)-1-[2-(6,8-difluoro-4-
quinolinyl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 577692-40-1 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(2S,4S)-1-[(2R)-2-hydroxy-2-
(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-2-(trifluoromethyl)-4-
piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 577692-41-2 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(2S,4R)-1-[(2R)-2-hydroxy-2-

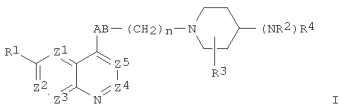
L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:555350 CAPLUS
DOCUMENT NUMBER: 137:125092
TITLE: Preparation of 4-piperidinylquinolines and nitrogenated analogs as antibacterial agents
INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Miller, William; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056882	A1	20020725	WO 2002-EP587	20020122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002235861	A1	20020730	AU 2002-235861	20020122
EP 1359908	A1	20031112	EP 2002-702296	20020122
EP 1359908	B1	20070822		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520360	T	20040708	JP 2002-557390	20020122
AT 370731	T	20070915	AT 2002-702296	20020122
US 2004138219	A1	20040715	US 2004-466394	20040126
US 7205408	B2	20070417		

PRIORITY APPLN. INFO.: GB 2001-1577 A 20010122
WO 2002-EP587 W 20020122

OTHER SOURCE(S): MARPAT 137:125092
GI



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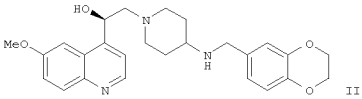


II

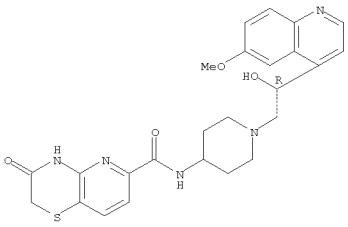
AB Title compds. I [wherein one of Z1-Z5 = N, one = CR1a, and the remainder = CH; or one of Z1-Z5 = CR1a and the remainder = CH; R1 and R1a = independently H, OH, or (un)substituted alkoxy; R2 = H or (un)substituted alkyl or alkenyl; R3 = H, carboxy, alkoxycarbonyl, alkenyloxycarbonyl, or (un)substituted aminocarbonyl, alkyl, or ethenyl; R4 = UR5; U = CO, SO2, or CH2; R5 = (un)substituted bicyclic carbocyclic or heterocyclic ring; n = 0 and AB = (un)substituted NHCO, COCH2, CH2CO, NHSO2, CH2SO2, or CH2CH2; or n = 0 and AB = NHCO, COCH2, CH2CO, NHSO2, CONH, CH2CH2, OCH2, or NHCH2; with provisos; and pharmaceutically derivs. thereof] were prepared for the treatment of gram pos. and gram neg. bacterial infections in mammals, particularly in man. For example, quininone was treated with t-BuOK in t-BuOH and H2O to give 6-methoxyquinoline-4-carboxylic acid (46%), which was converted to (R)-2-(6-methoxyquinoline-4-yl)oxirane over several steps. Reaction with LiClO4 in anhydrous DMF, 4-tert-butoxycarbonylaminopiperidine•HCl, and K2CO3 with heating to 90° for 26 h afforded 4-tert-butoxycarbonylamino-1-[2-(R)-hydroxy-2-(6-methoxyquinoline-4-yl)ethyl]piperidine. Deprotection, condensation with 2,3-dihydrobenzo[1,4]dioxin-6-carboxaldehyde, and conversion to the salt gave II•2HO2CCO2H. The latter demonstrated antibacterial activity with MIC ≤ 0.125 μM against one or more of the gram pos. and gram neg. bacteria tested.

IT 443956-61-4P, 3-Oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxylic acid [1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amide 443956-63-6P, 7-Bromo-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxylic acid [1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amide 443956-65-8P, 7-Bromo-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxylic acid [1-[(R)-2-hydroxy-2-(6-methoxy[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amide 443956-67-0P, 3-Oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-

carboxylic acid [1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antibacterial agent; prepn. of piperidinylquinolines and nitrogenated analogs as antibacterial agents)

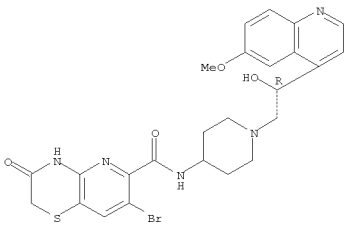
RN 443956-61-4 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.



RN 443956-63-6 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 7-bromo-3,4-dihydro-N-[1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)

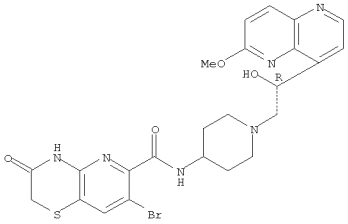
Absolute stereochemistry.



RN 443956-65-8 CAPLUS

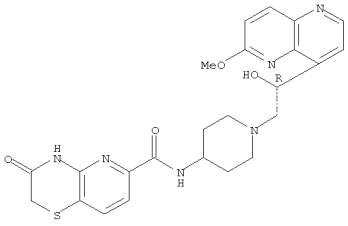
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 7-bromo-3,4-dihydro-N-[1-[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.



RN 443956-67-0 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.



IT 443956-12-5P, 6-[[[1-[(R)-2-Hydroxy-2-(6-methoxy[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one hydrochloride 443956-20-5P, 7-Bromo-6-[[[1-[(R)-2-hydroxy-2-(6-methoxy[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 443956-32-9P, (R)-2-[4-[[[3,4-Dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl]methyl]amino]piperidin-1-yl]-1-(6-methoxyquinolin-4-yl)ethanol

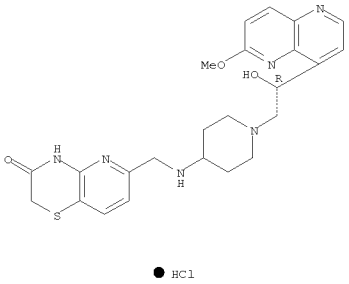
L4 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
dihydrochloride 443956-43-2P, (R)-2-[4-[[(3,4-Dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]-1-(6-methoxy-[1,5]naphthyridin-4-yl)ethanol dihydrochloride 443956-60-3P, 3-Oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxylic acid [1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amide dihydrochloride 443956-62-5P, 7-Bromo-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxylic acid [1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amide dihydrochloride 443956-64-7P, 7-Bromo-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxylic acid [1-[(R)-2-hydroxy-2-(6-methoxy[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amide dihydrochloride 443956-66-9P, 3-Oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxylic acid [1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amide dihydrochloride 443956-83-0P,

6-[[[1-[(R)-2-Hydroxy-2-(6-methoxy[1,5]naphthyridin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-pyrido[3,2-b][1,4]thiazin-3-one 443956-85-2P, (R)-2-[4-[[(3,4-Dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]-1-(6-methoxyquinolin-4-yl)ethanol 443956-88-5P, (R)-2-[4-[[(3,4-Dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl)methyl]amino]piperidin-1-yl]-1-(6-methoxy[1,5]naphthyridin-4-yl)ethanol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial agent; prepn. of piperidinylquinolines and nitrogenated analogs as antibacterial agents)

RN 443956-12-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

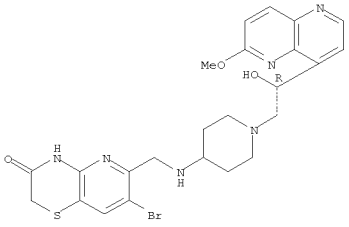
L4 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 443956-20-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-bromo-6-[[[1-[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

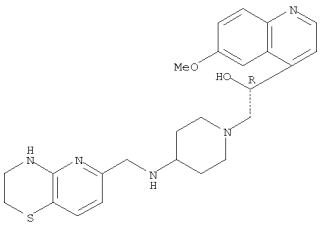
Absolute stereochemistry.



RN 443956-32-9 CAPLUS
CN 4-Quinolinemethanol, α-[[4-[[(3,4-dihydro-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-6-methoxy-, dihydrochloride, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

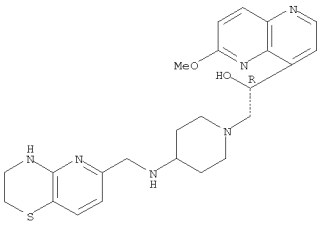
L4 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

RN 443956-43-2 CAPLUS
CN 1,5-Naphthyridine-4-methanol, α-[[4-[[(3,4-dihydro-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-6-methoxy-, dihydrochloride, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

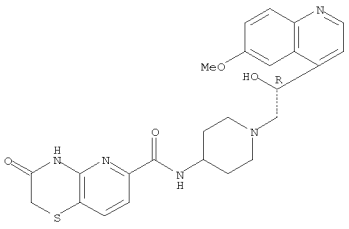


●2 HCl

RN 443956-60-3 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]-3-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

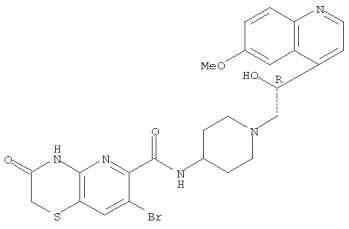
L4 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

RN 443956-62-5 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 7-bromo-3,4-dihydro-N-[1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]-3-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

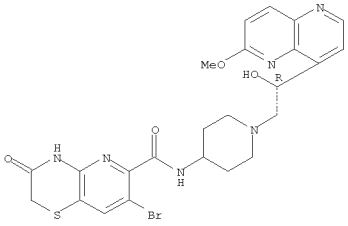


●2 HCl

RN 443956-64-7 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 7-bromo-3,4-dihydro-N-[1-

[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

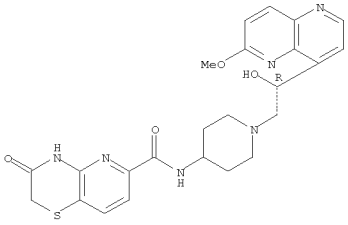
L4 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



●2 HCl

RN 443956-66-9 CAPLUS
CN 2H-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

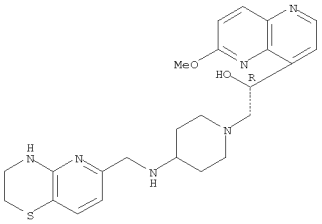
Absolute stereochemistry.



●2 HCl

RN 443956-83-0 CAPLUS

L4 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.

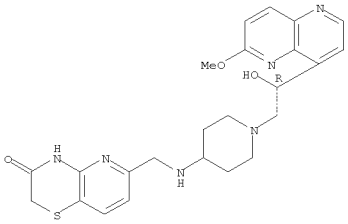


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

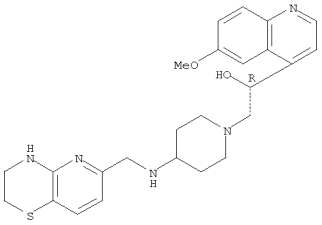
L4 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 443956-85-2 CAPLUS
CN 4-Quinolinemethanol, α-[[4-[[(3,4-dihydro-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-6-methoxy-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 443956-88-5 CAPLUS
CN 1,5-Naphthyridine-4-methanol, α-[[4-[[(3,4-dihydro-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-6-methoxy-, (αR)- (CA INDEX NAME)